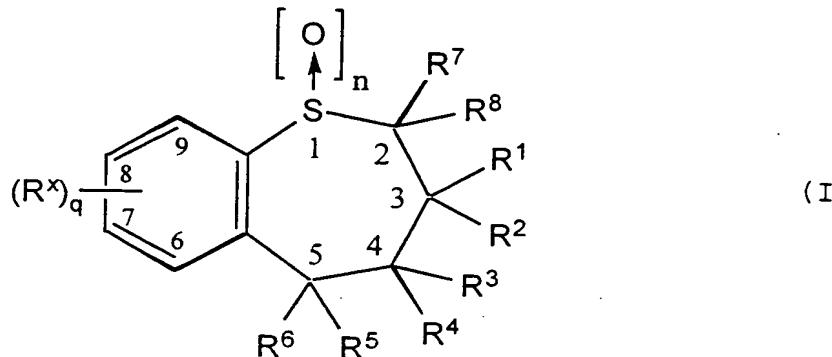


What is claimed is:

1. A compound of formula (I):

5



wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

10            $R^1$  and  $R^2$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15       wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  
 20        $NR^9R^{10}$ ,  $N^+R^9R^{10}^wA^-$ ,  $SR^9$ ,  $S^+R^9R^{10}A^-$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  
            $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  
            $CONR^9R^{10}$ ,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl

25       optionally have one or more carbons replaced by O, NR<sup>9</sup>,

$N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, and alkylammoniumalkyl; or

5  $R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkyl;

10  $R^3$  and  $R^4$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ , wherein  $R^9$  and  $R^{10}$  are as defined above; or

$R^3$  and  $R^4$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ ,

15 wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl,

cycloalkyl, cyanoalkyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

20 wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be OH,  $NH_2$ , and SH, or

$R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

25  $R^5$  and  $R^6$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl,

heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 5 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ , 10  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein:

$A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation,

15 said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo, 20  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

25 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are 30 independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, aryl,

arylalkyl, cycloalkyl, heterocycle, heteroaryl,  
quaternary heterocycle, quaternary heteroaryl, and  
quaternary heteroarylalkyl,

5 wherein alkyl, alkenyl, alkynyl, arylalkyl,  
heterocycle, and polyalkyl optionally have one or more  
carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>,  
S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P(O)R<sup>9</sup>, phenylene, carbohydrate,  
amino acid, peptide, or polypeptide, and

10 R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with  
one or more groups selected from the group consisting  
of sulfoalkyl, quaternary heterocycle, quaternary  
heteroaryl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>,  
SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM,  
SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, and  
15 C(O)OM,

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected  
from the substituents constituting R<sup>9</sup> and M; or

20 R<sup>14</sup> and R<sup>15</sup>, together with the nitrogen atom to  
which they are attached, form a cyclic ring; and

R<sup>30</sup> is selected from the group consisting of alkyl,  
alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,  
ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; and

25 R<sup>7</sup> and R<sup>8</sup> are independently selected from the  
group consisting of hydrogen and alkyl; and

one or more R<sup>X</sup> are independently selected from the  
group consisting of H, alkyl, alkenyl, alkynyl,  
polyalkyl, acyloxy, aryl, arylalkyl, halogen,  
haloalkyl, cycloalkyl, heterocycle, heteroaryl,  
polyether, quaternary heterocycle, quaternary

30 heteroaryl, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, S(O)<sub>2</sub>R<sup>13</sup>,

$\text{SO}_3\text{R}^{13}$ ,  $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ,  $\text{NR}^{13}\text{OR}^{14}$ ,  $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ,  $\text{NO}_2$ ,  $\text{CO}_2\text{R}^{13}$ ,  
 CN, OM,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ,  $\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$ ,  $\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ,  
 $\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$ ,  $\text{C}(\text{O})\text{OM}$ ,  $\text{COR}^{13}$ ,  $\text{OR}^{18}$ ,  $\text{S}(\text{O})_n\text{NR}^{18}$ ,  $\text{NR}^{13}\text{R}^{18}$ ,  
 $\text{NR}^{18}\text{OR}^{14}$ ,  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ , amino acid,  
 5 peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $\text{OR}^9$ ,  $\text{NR}^9\text{R}^{10}$ ,  
 10  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{SR}^9$ ,  $\text{S}(\text{O})\text{R}^9$ ,  $\text{SO}_2\text{R}^9$ ,  $\text{SO}_3\text{R}^9$ , oxo,  $\text{CO}_2\text{R}^9$ ,  
 CN, halogen,  $\text{CONR}^9\text{R}^{10}$ ,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^9\text{R}^{10}$ ,  $\text{PO}(\text{OR}^{16})\text{OR}^{17}$ ,  
 $\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$ , or  $\text{C}(\text{O})\text{OM}$ , and

15 wherein  $\text{R}^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group  
 20 consisting of  $\text{OR}^9$ ,  $\text{NR}^9\text{R}^{10}$ ,  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{SR}^9$ ,  $\text{S}(\text{O})\text{R}^9$ ,  
 $\text{SO}_2\text{R}^9$ ,  $\text{SO}_3\text{R}^9$ , oxo,  $\text{CO}_2\text{R}^9$ , CN, halogen,  $\text{CONR}^9\text{R}^{10}$ ,  $\text{SO}_3\text{R}^9$ ,  
 $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^9\text{R}^{10}$ ,  $\text{PO}(\text{OR}^{16})\text{OR}^{17}$ , and  $\text{C}(\text{O})\text{OM}$ ,

25 wherein in  $\text{R}^X$ , one or more carbons are optionally replaced by O,  $\text{NR}^{13}$ ,  $\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , S, SO,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^{13}\text{A}^-$ ,  
 $\text{PR}^{13}$ ,  $\text{P}(\text{O})\text{R}^{13}$ ,  $\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more

carbons are optionally replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or P(O)R<sup>9</sup>;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, SO<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>, NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, C(O)OM, COR<sup>13</sup>, P(O)R<sup>13</sup>R<sup>14</sup>, P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>, P(OR<sup>13</sup>)OR<sup>14</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, and N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>,

provided that both R<sup>5</sup> and R<sup>6</sup> cannot be hydrogen or SH;

provided that when R<sup>5</sup> or R<sup>6</sup> is phenyl, only one of R<sup>1</sup> or R<sup>2</sup> is H;

provided that when q = 1 and R<sup>X</sup> is styryl, anilido, or anilinocarbonyl, only one of R<sup>5</sup> or R<sup>6</sup> is alkyl; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

2. A compound of claim 1, wherein R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of H, aryl, heterocycle, quaternary heterocycle, and quaternary heteroaryl.

wherein said aryl, heteroaryl, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups

SRL 6071  
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independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8A^-$ , and  $P(O)(OR^7)OR^8$ .

3. A compound of claim 2, wherein  $R^5$  or  $R^6$  has the formula

$-Ar-(R^Y)_t$

wherein:

t is an integer from 0 to 5;

Ar is selected from the group consisting of phenyl, thiophenyl, pyridyl, piperazinyl, piperonyl, pyrrolyl, naphthyl, furanyl, anthracenyl, quinolinyl, isoquinolinyl, quinoxalinyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyrimidinyl, thiazolyl, triazolyl, isothiazolyl, indolyl, benzoimidazolyl, benzoxazolyl, benzothiazolyl, and benzoisothiazolyl; and

one or more  $R^Y$  are independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,

$OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

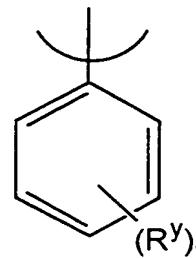
wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O,  $NR^7$ ,  $N^+R^7R^8A^-$ , S, SO,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,

$P^+ R^7 R^8 A^-$ , or phenylene.

4. A compound of claim 3, wherein  $R^5$  or  $R^6$  has the formula (II)

5



(II)

5. A compound of claim 4, wherein  $n$  is 1 or 2.

10

6. A compound of claim 5, wherein one of  $R^7$  or  $R^8$  is H and the other of  $R^7$  or  $R^8$  is alkyl.

15

7. A compound of claim 5, wherein both  $R^7$  and  $R^8$  are H.

8. A compound of claim 7, wherein  $R^1$  and  $R^2$  are independently selected from the group consisting of H and alkyl.

20

9. A compound of claim 8, wherein said alkyl is a C<sub>1</sub>-C<sub>10</sub> alkyl.

10. A compound of claim 8, wherein  $R^1$  and  $R^2$  are both alkyl.

25

11. A compound of claim 10, wherein said alkyl is a C<sub>1</sub>-C<sub>10</sub> alkyl.

12. A compound of claim 11, wherein said alkyl is  
a  $C_2$ - $C_7$  alkyl.

5 13. A compound of claim 12, wherein said alkyl is  
a  $C_2$ - $C_4$  alkyl.

10 14. A compound of claim 13, wherein said alkyl is  
independently selected from the group consisting of  
ethyl, n-propyl, n-butyl, and isobutyl.

15. A compound of claim 8, wherein  $R^1$  and  $R^2$  are  
each n-butyl.

15 16. A compound of claim 8, wherein one of  $R^1$  and  
 $R^2$  is ethyl and the other of  $R^1$  and  $R^2$  is n-butyl.

20 17. A compound of claim 15, wherein  $q$  is 1, 2, or  
3.

18. A compound of claim 16, wherein  $q$  is 1, 2, or  
3.

25 19. A compound of claim 17, wherein  $q$  is 1 or 2.

20. A compound of claim 19, wherein  $q$  is 1.

21. A compound of claim 18, wherein  $q$  is 1 or 2.

30

22. A compound of claim 21, wherein  $q$  is 1.

23. A compound of claim 19, wherein  $R^3$  and  $R^4$  are  
independently selected from the group consisting of H

and OR<sup>9</sup>.

24. A compound of claim 21, wherein R<sup>3</sup> and R<sup>4</sup> are independently selected from the group consisting of H and OR<sup>9</sup>.

5

25. A compound of claim 23, wherein R<sup>9</sup> is H.

26. A compound of claim 24, wherein R<sup>9</sup> is H.

10

27. A compound of claim 25, wherein one or more R<sup>X</sup> are in the 7-, 8-, or 9-position of the benzo ring of formula (I).

15

28. A compound of claim 26, wherein said R<sup>X</sup> is in the 7-, 8-, or 9-position of the benzo ring of formula (I).

20

29. A compound of claim 27, wherein said R<sup>X</sup> are in the 7- and 9-positions of the benzo ring of formula (I).

30. A compound of claim 28, wherein said R<sup>X</sup> is in the 7-position of the benzo ring of formula (I).

25

31. A compound of claim 29, wherein said one or more R<sup>X</sup> are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>13</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>, CO<sub>2</sub>R<sup>13</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, and NR<sup>14</sup>C(O)R<sup>13</sup>,

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wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

32. A compound of claim 30, wherein said  $R^X$  is selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^{13}$ ,  $S^+R^{13}R^{14}$ ,  $CO_2R^{13}$ ,  $NR^{14}C(O)R^{13}$ , and  $NR^{14}C(O)R^{13}$ ,

wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,

$PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

5 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by  $O$ ,  $NR^9$ ,  $N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

10 33. A compound of claim 31, wherein said one or more  $R_x$  are independently selected from the group consisting of polyether,  $OR^{13}$ ,  $NR^{13}R^{14}$ , and  $N^+R^9R^{11}R^{12}A^-$ .

15 34. A compound of the claim 32, wherein said  $R^x$  is selected from the group consisting of polyether,  $OR^{13}$ ,  $NR^{13}R^{14}$ , and  $N^+R^9R^{11}R^{12}A^-$ .

20 35. A compound of claim 33, wherein said one or more  $R_x$  are independently selected from the group consisting of  $OR^{13}$  and  $NR^{13}R^{14}$ .

25 36. A compound of claim 34, wherein said  $R^x$  is independently selected from the group consisting of  $OR^{13}$  and  $NR^{13}R^{14}$ .

37. A compound of claim 35, wherein  $R^{13}$  and  $R^{14}$  each methyl.

30 38. A compound of the claim 36, wherein  $R^{13}$  and  $R^{14}$  each methyl.

39. A compound of claim 31, wherein one or more  $R^Y$  are independently in the 3- or the 4-position of the phenyl ring of formula (II).

5

40. A compound of claim 32, wherein one or more  $R^Y$  are independently in the 3- or the 4- position of the phenyl ring of formula (II).

10

41. A compound of claim 39, wherein  $t$  is 1 or 2.

42. A compound of claim 40, wherein  $t$  is 1 or 2.

15

43. A compound of claim 41, wherein said one or more  $R^Y$  are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ , wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

20

44. A compound of claim 42, wherein said  $R^Y$  is independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ , wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

25

45. A compound of claim 43, wherein said one or

30

more  $R^Y$  are independently selected from the group consisting of alkyl, polyether, fluoride,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ ,

wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

46. A compound of claim 44 wherein said  $R^Y$  is independently selected from the group consisting of alkyl, polyether, fluoride,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ ,

wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

47. A compound of claim 45, wherein said  $R^{13}$  and  $R^{14}$  are alkyl,

wherein alkyl can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

48. A compound of claim 46, wherein said  $R^9$  and  $R^{10}$  are alkyl,

wherein alkyl can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

49. A compound of claim 47, wherein n is 2.

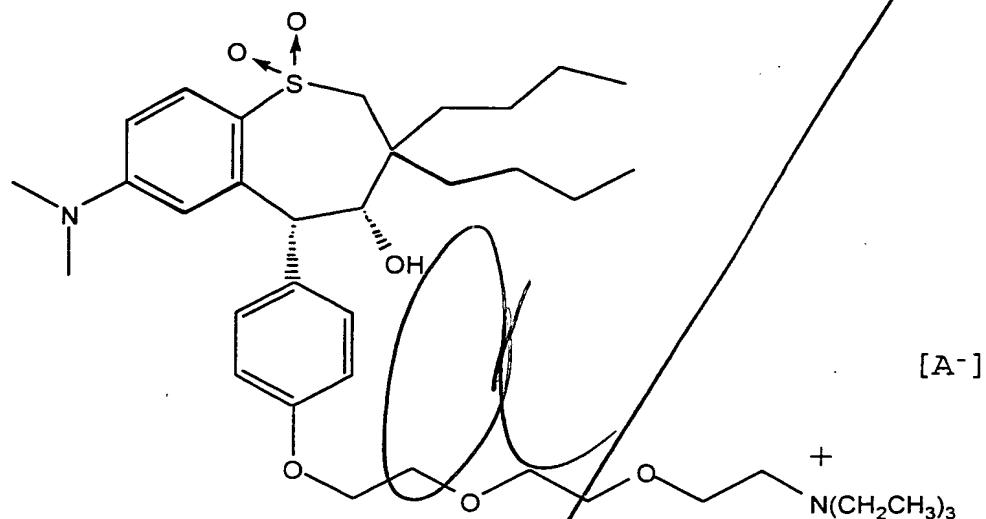
50. A compound of claim 48, wherein n is 2.

51. A compound of claim 49, wherein said OH group is in a *syn* relationship to said structure of formula (II).

52. A compound of claim 50, wherein said OH group is in a *syn* relationship to said structure of formula (II).

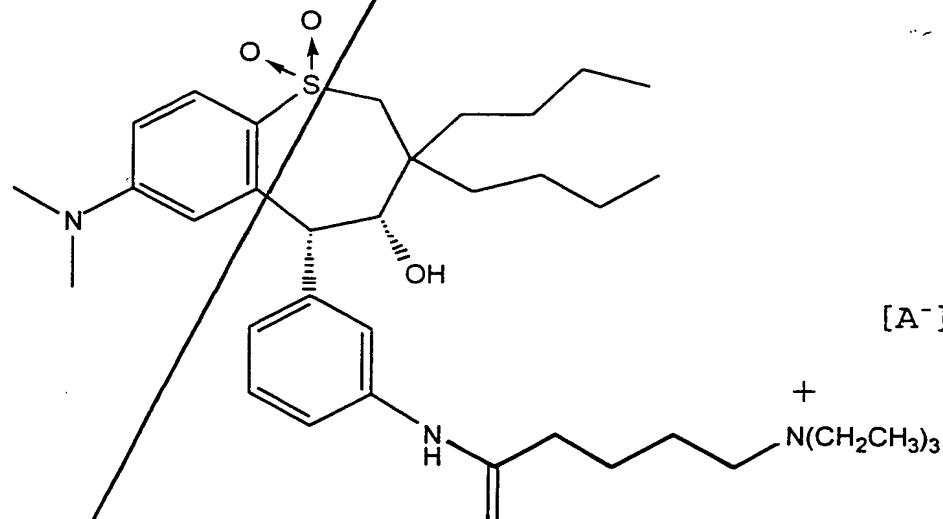
5

53. A compound of claim 51, having the formula:



10

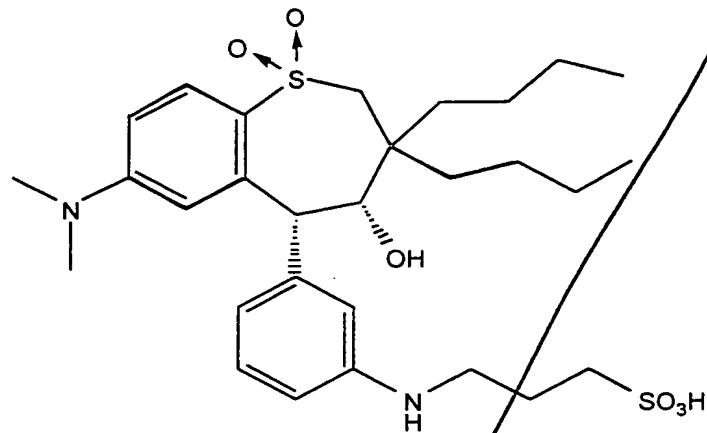
54. A compound of claim 51, having the formula:



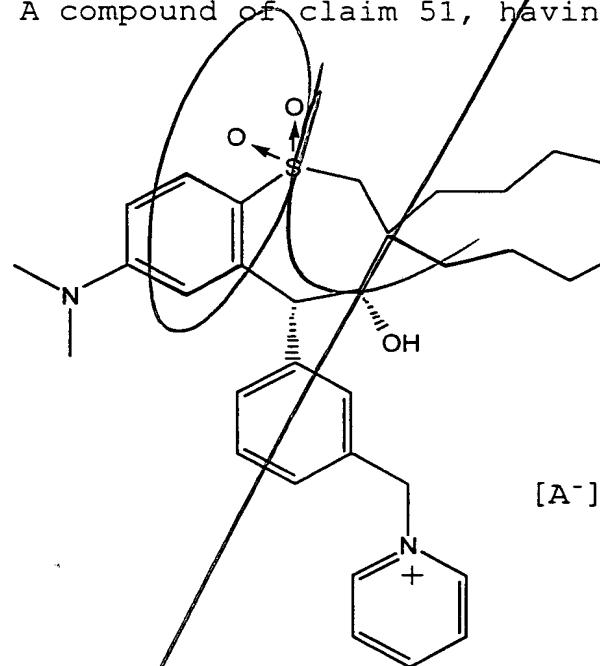
SRL 6071  
(C-3021/2)

540

55. A compound of claim 51, having the formula:



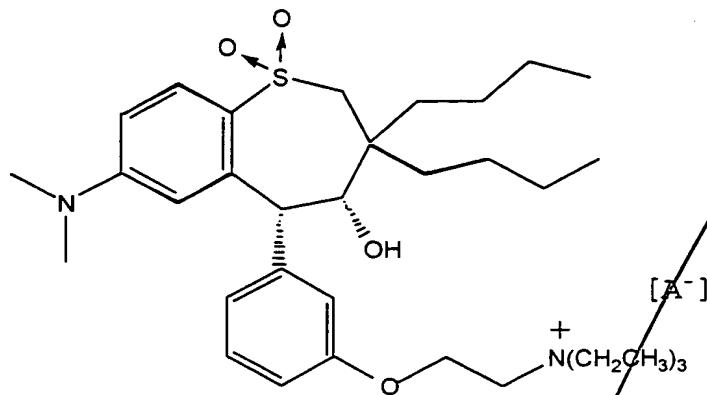
56. A compound of claim 51, having the formula:



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(C-3021/2)

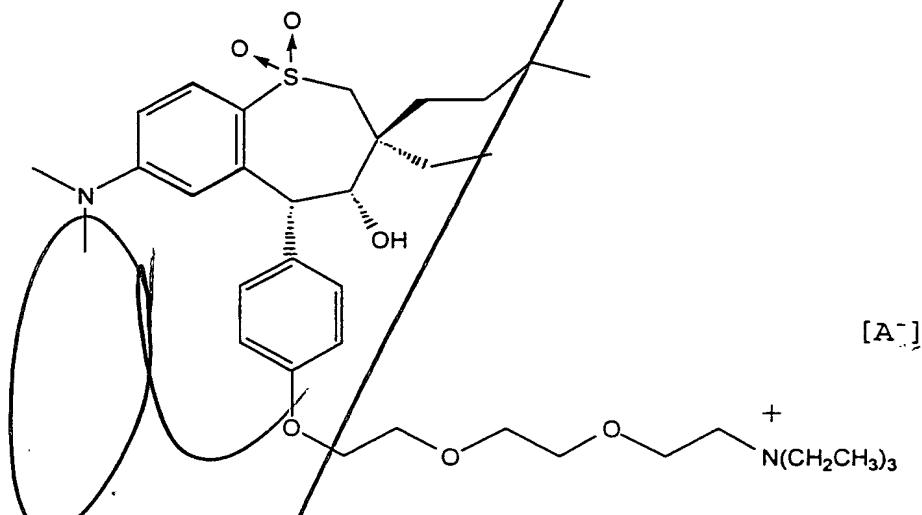
541

57. A compound of claim 51, having the formula:



5

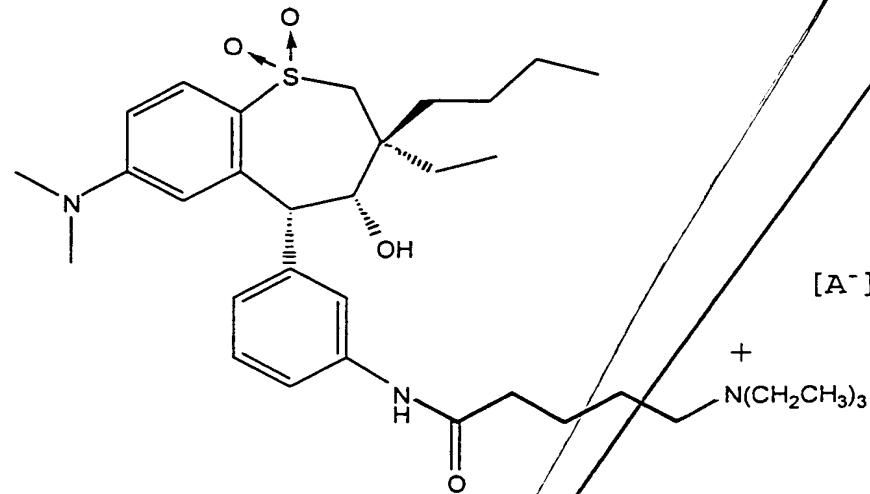
58. A compound of claim 52, having the formula:



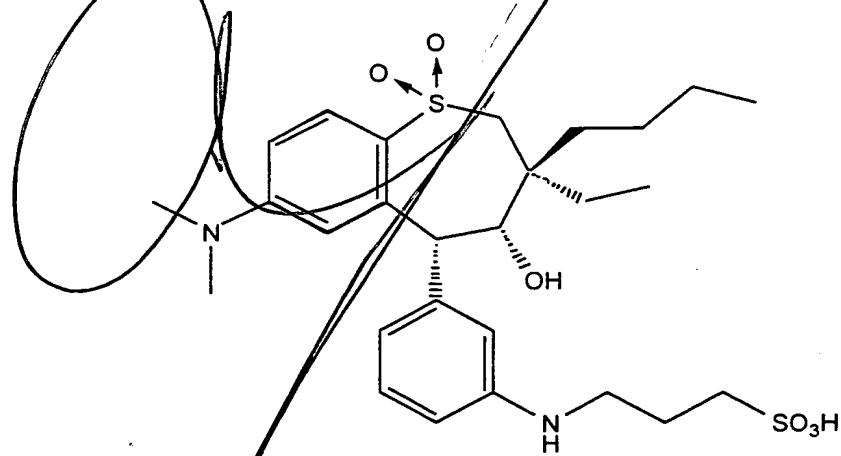
SRL 6071  
(C-3021/2)

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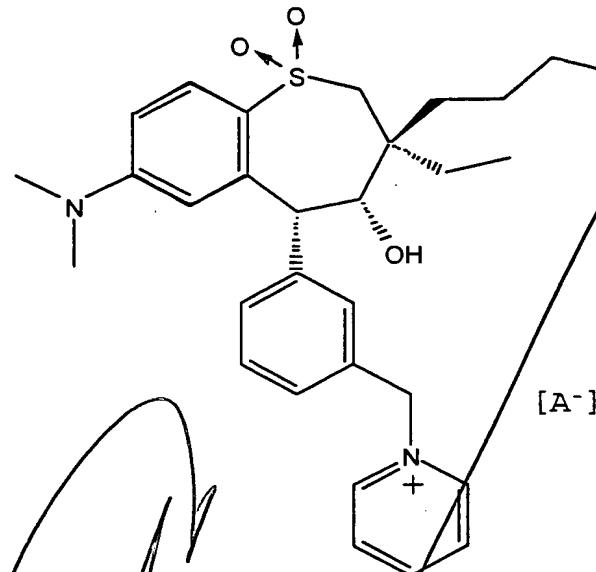
59. A compound of claim 52, having the formula:



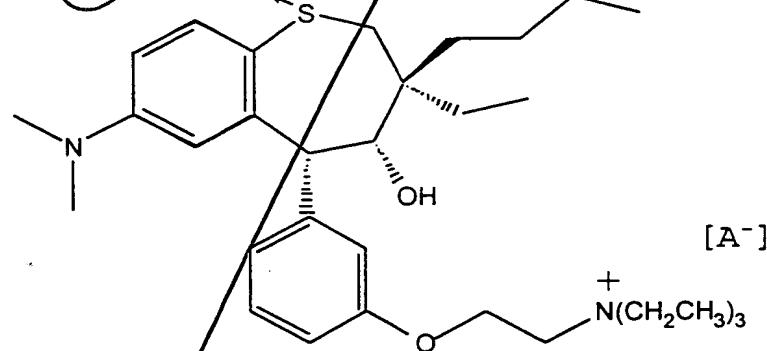
5 60. A compound of claim 52, having the formula:



61. A compound of claim 52, having the formula:



5 62. A compound of claim 52, having the formula:

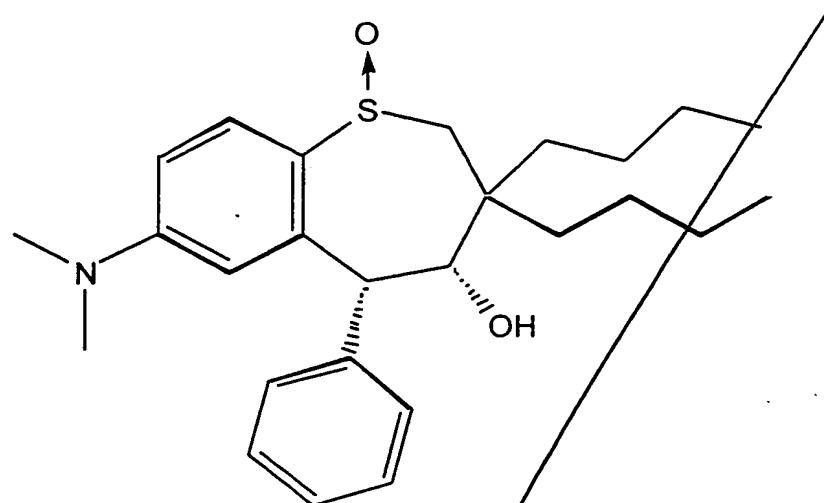


63. A compound of claim 31, wherein n is 1.

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64. A compound of claim 63, wherein  $R^y$  is H.

65. A compound of claim 64, having the formula



66. A compound of claim 4, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H and alkyl.

67. A compound of claim 66, wherein said alkyl is C<sub>1</sub>-C<sub>10</sub> alkyl.

68. A compound of claim 67, wherein said alkyl is C<sub>2</sub>-C<sub>4</sub> alkyl.

69. A compound of claim 68, wherein said alkyl is C<sub>2</sub>-C<sub>4</sub> alkyl.

70. A compound of claim 69, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

71. A compound of claim 4, wherein R<sup>3</sup> and R<sup>4</sup> are independently selected from the group consisting of H and OR<sup>9</sup>.

72. A compound of claim 71, wherein R<sup>9</sup> is H.

73. A compound of claim 4, wherein n is 2.

5 74. A compound of claim 3, wherein R<sup>3</sup> and R<sup>4</sup> are independently selected from the group consisting of H and OR<sup>9</sup>.

75. A compound of claim 74, wherein R<sup>9</sup> is H.

10 76. A compound of claim 3, wherein one of R<sup>7</sup> or R<sup>8</sup> is H.

15 77. A compound of claim 76, wherein both R<sup>7</sup> and R<sup>8</sup> are H.

20 78. A compound of claim 3, wherein said one or more R<sup>X</sup> are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>13</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>, CO<sub>2</sub>R<sup>13</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, and NR<sup>14</sup>C(O)R<sup>13</sup>, wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup> SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or C(O)OM, and

25 wherein in R<sup>X</sup>, one or more carbons are optionally replaced by O, NR<sup>13</sup>, N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>13</sup>A<sup>-</sup>, PR<sup>13</sup>, P(O)R<sup>13</sup>, P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, phenylene, amino acid,

30

peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or P(O)R<sup>9</sup>.

79. A compound of claim 78, wherein said one or more R<sup>x</sup> are independently selected from the group consisting of polyether, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, and N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>.

80. A compound of claim 79, wherein said one or more R<sup>x</sup> are independently selected from the group consisting of OR<sup>13</sup> and NR<sup>13</sup>R<sup>14</sup>.

81. A compound of claim 80, wherein R<sup>13</sup> and R<sup>14</sup> are each methyl.

82. A compound of claim 3, wherein one or more R<sup>y</sup> are independently in the 3- or the 4-position of the phenyl ring of formula (II).

83. A compound of claim 82, wherein one or more R<sup>y</sup> is selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, NR<sup>9</sup>R<sup>10</sup>, and NC(O)R<sup>9</sup>,

wherein alkyl and polyether can be substituted with SO<sub>3</sub>R<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, and quaternary heteroaryl.

30

84. A compound of claim 83, wherein R<sup>9</sup> and R<sup>10</sup>

are alkyl.

85. A compound of claim 84, wherein one or more  $R^Y$  is selected from the group consisting of alkyl, 5 polyether, fluoride, chloride, bromide, iodide,  $NR^9R^{10}$ , and  $NC(O)R^9$ .

86. A compound of claim 1, wherein said one or more  $R^X$  are independently selected from the group 10 consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^{13}$ ,  $S^+R^{13}R^{14}$ ,  $CO_2R^{13}$ ,  $NR^{14}C(O)R^{13}$ , and  $NR^{14}C(O)R^{13}$ ,

15 wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

20 wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

25 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

30 87. A compound of claim 1, wherein n is 1 or 2.

88. A compound of claim 87, wherein n is 2.

5 89. A compound of claim 1, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H and alkyl.

10 90. A compound of claim 89, wherein said alkyl is C<sub>1</sub>-C<sub>10</sub> alkyl.

15 91. A compound of claim 90, wherein said alkyl is C<sub>2</sub>-C<sub>7</sub> alkyl.

92. A compound of claim 91, wherein said alkyl is C<sub>2</sub>-C<sub>4</sub> alkyl.

20 93. A compound of claim 92, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

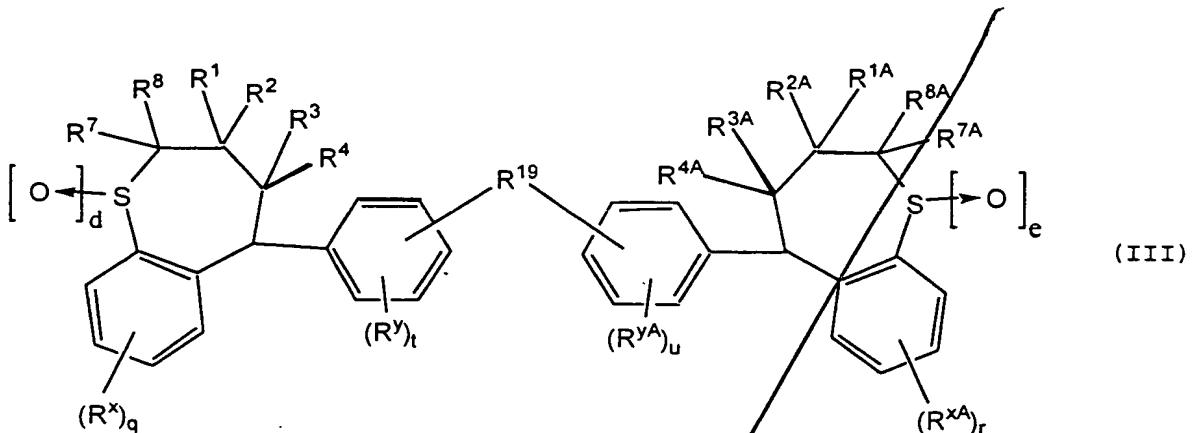
25 94. A compound of claim 1, wherein R<sup>3</sup> and R<sup>4</sup> are independently selected from the group consisting of H and OR<sup>9</sup>.

95. A compound of claim 94, wherein R<sup>9</sup> is H.

30 96. A compound of claim 1, wherein one of R<sup>7</sup> or R<sup>8</sup> is H.

97. A compound of claim 96, wherein both R<sup>7</sup> and R<sup>8</sup> are H.

98. A compound of the formula (III)



(III)

wherein :

q and r are independently integers from 0 to 4;

d and e are independently integers from 0 to 2;

5 t and u are independently integers from 0 to 4;

10 R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>, and R<sup>2A</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more

20 substituent selected from the group consisting of OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>WA<sup>-</sup>, SR<sup>9</sup>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,

25 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, polyalkyl, aryl, and cycloalkyl

optionally have one or more carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or phenylene,wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>W</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; or

R<sup>1</sup> and R<sup>2</sup> taken together with the carbon to which they are attached form C<sub>3</sub>-C<sub>10</sub> cycloalkylidene, or

R<sup>1A</sup> and R<sup>2A</sup> taken together with the carbon to which they are attached form C<sub>3</sub>-C<sub>10</sub> cycloalkylidene;

5 R<sup>3</sup>, R<sup>3A</sup>, R<sup>4</sup>, and R<sup>4A</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, and SO<sub>3</sub>R<sup>9</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above; or

10 R<sup>3</sup> and R<sup>4</sup> together form =O, =NOR<sup>11</sup>, =S, =NNR<sup>11</sup>R<sup>12</sup>, =NR<sup>9</sup>, or =CR<sup>11</sup>R<sup>12</sup>, or

R<sup>3A</sup> and R<sup>4A</sup> together form =O, =NOR<sup>11</sup>, =S, =NNR<sup>11</sup>R<sup>12</sup>, =NR<sup>9</sup>, or =CR<sup>11</sup>R<sup>12</sup>,

15 wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,

20 wherein R<sup>9</sup> and R<sup>10</sup> are as defined above, provided that both R<sup>3</sup> and R<sup>4</sup> cannot be OH, NH<sub>2</sub>, and SH, or

R<sup>11</sup> and R<sup>12</sup> together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

25 wherein A<sup>-</sup> is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation;

R<sup>7</sup>, R<sup>7A</sup>, R<sup>8</sup>, and R<sup>8A</sup> are independently selected from the group consisting of hydrogen and alkyl; and

30 one or more R<sup>X</sup> and R<sup>X<sup>A</sup></sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen,

haloalkyl, cycloalkyl, heterocycle, heterocycle,  
polyether, quaternary heterocycle, quaternary  
heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)_2R^{13}$ ,  
 $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  
5  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  
 $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  
10  $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid,  
peptide, polypeptide, and carbohydrate,  
wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl,  
15 polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl,  
polyether, quaternary heterocycle, and quaternary  
heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  
 $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  
CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  
20  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and  
wherein  $R^{18}$  is selected from the group consisting  
of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,  
heterocycle, alkyl,  
wherein acyl, arylalkoxycarbonyl, arylalkyl,  
25 heterocycle, heterocycle, alkyl quaternary heterocycle,  
and quaternary heteroaryl optionally are substituted  
with one or more substituent selected from the group  
consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  
 $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  
 $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,  
wherein in  $R^*$  and  $R^{*A}$ , one or more carbons are  
optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  
 $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino  
acid, peptide, polypeptide, carbohydrate, polyether, or

polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or P(O)R<sup>9</sup>;

5 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, acyl, 10 haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, SO<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>, NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, O(O)OM, COR<sup>13</sup>, P(O)R<sup>13</sup>R<sup>14</sup>, P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>, P(OR<sup>13</sup>)OR<sup>14</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, and N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>,

15 R<sup>19</sup> is selected from the group consisting of alkane diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy diyl, polyether diyl, polyalkoxy diyl, carbohydrate, amino acid, and peptide, polypeptide, wherein alkane diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy diyl, polyether diyl, polyalkoxy diyl, carbohydrate, amino acid, and peptide/polypeptide, can optionally have one or more carbon replaced by O, NR<sup>7</sup>, N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, S, SO, SO<sup>2</sup>, S<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, PR<sup>7</sup>, P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, phenylene, heterocycle, quaternary heterocycle, quaternary heteroaryl, or aryl,

25 wherein alkane diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy diyl, polyether diyl, polyalkoxy diyl, carbohydrate, amino acid, peptide, and polypeptide can be substituted with one or more substituent groups independently selected from the 30 group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle,

arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ;

wherein one or more  $R^y$  and  $R^{yA}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^9$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, and heterocycle can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, 15 arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl,  
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle  
can optionally have one or more carbons replaced by O,  
NR<sup>7</sup>, N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A-, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>7</sup>A-, PR<sup>7</sup>, P(O)R<sup>7</sup>,  
5 P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A-, or phenylene.

99. A compound of claim 98, wherein R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>,  
and R<sup>2A</sup> are independently selected from the group  
consisting of H and alkyl.

100. A compound of claim 99, wherein R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>,  
and R<sup>2A</sup> are independently selected from the group  
consisting of H and C<sub>1</sub>-C<sub>10</sub> alkyl.

101. A compound of claim 100, wherein said alkyl  
is a C<sub>2</sub>-C<sub>7</sub> alkyl.

102. A compound of claim 101, wherein R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>,  
and R<sup>2A</sup> are independently C<sub>2</sub>-C<sub>4</sub> alkyl.

103. A compound of claim 102, wherein R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>,  
and R<sup>2A</sup> are independently selected from the group  
consisting of ethyl, n-propyl, n-butyl, and isobutyl.

104. A compound of claim 98, wherein R<sup>3</sup>, R<sup>3A</sup>, R<sup>4</sup>,  
and R<sup>4A</sup> are independently selected from the group  
consisting of H and OR<sup>9</sup>.

105. A compound of claim 104, wherein R<sup>9</sup> is H.

106. A compound of claim 98, wherein R<sup>7</sup>, R<sup>7A</sup>, R<sup>8</sup>,  
and R<sup>8A</sup> are H.

107. A compound of claim 98, wherein d and e are

independently 1 or 2.

108. A compound of claim 107, wherein d and e are both 2.

5

109. A compound of claim 98, wherein one or more  $R^X$  and one or more  $R^A$  are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^{13}$ ,  $S^+R^{13}R^{14}$ ,  $CO_2R^{13}$ ,  $NR^{14}C(O)R^{13}$ , and  $NR^{14}C(O)R^{13}$ , wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(O R^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

30

110. A compound of claim 98, wherein one or more  $R^Y$  and one or more  $R^{YA}$  are independently selected from the group consisting of alkyl, polyether, fluoride,

chloride, bromide, iodide,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ ,

wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

5 111. A compound of claim 98, wherein  $R^{19}$  is selected from the group consisting of alkane diyl, polyalkane diyl, alkoxy diyl, and polyalkoxy diyl, 10 wherein alkane diyl and polyalkane diyl can optionally have one or more carbon replaced by O, NR7, N+R7R8, S, SO, SO2, S+R7R8, PR7, P+R7R8, or phenylene.

15 112. A compound of claim 111, wherein  $R^{19}$  is selected from the group consisting of alkoxy diyl and polyalkoxydiyl wherein one or more carbons are 20 optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}$ , S, SO, SO2,  $S^+R^9R^{10}$ ,  $PR^9$ ,  $P^+R^9R^{10}$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, or polyalkyl.

25 113. A compound of claim 112, wherein  $R^1$ ,  $R^{1A}$ ,  $R^2$ , and  $R^{2A}$  are independently selected from the group consisting of H and alkyl.

114. A compound of claim 113, wherein  $R^3$ ,  $R^{3A}$ ,  $R^4$ , and  $R^{4A}$  are independently selected from the group consisting of H and  $OR^9$ .

30 115. A compound of claim 114, wherein  $R^9$  is H.

116. A compound of claim 115, wherein  $R^7$ ,  $R^{7A}$ ,  $R^8$ , and  $R^{8A}$  are each H.

117. A compound of claim 116, wherein d and e are independently 1 or 2.

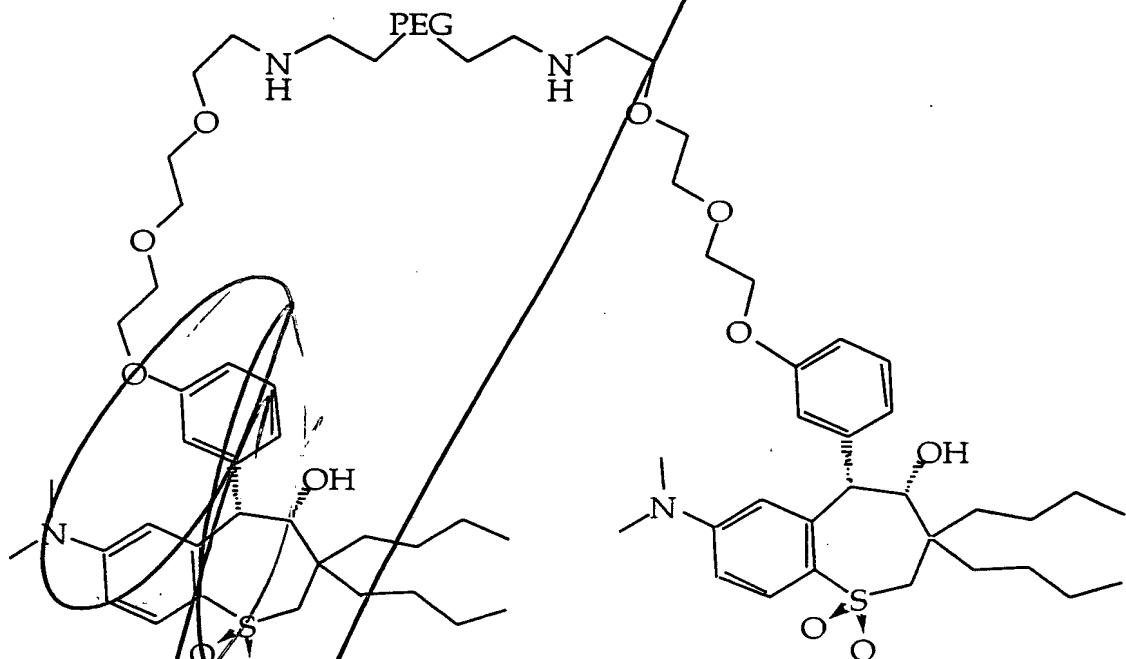
118. A compound of claim 117, wherein one or more  $R^X$  and one or more  $R^{yA}$  are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S^+R^{13}R^{14}$ ,  $CO_2R^{13}$ ,  $NR^{14}C(O)R^{13}$ , and  $NR^{14}C(O)R^{13}$ , wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $OKO$ ,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OE^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and wherein in  $R^X$ , one or more carbons are optionally replaced by  $O$ ,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by  $O$ ,  $NR^9$ ,  $N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

119. A compound of claim 118, wherein one or more  $R^Y$  and one or more  $R^{yA}$  are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ ,

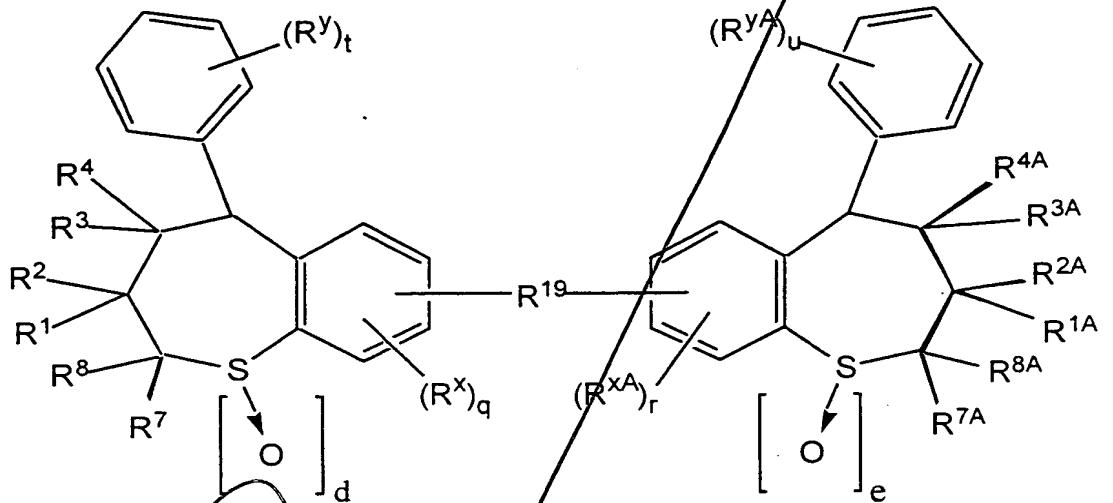
wherein alkyl and polyether can be further substituted with  $\text{SO}_3\text{R}^9$ ,  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ , and quaternary heteroaryl.

5

120. A compound of claim 119, having the formula:



## 121. A compound of the formula (IV)



5 wherein :

q and r are independently integers from 0 to 3;

d and e are independently integers from 0 to 2;

t and u are independently integers from 0 to 5;

10 R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>, and R<sup>2A</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituent selected from the group consisting of OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>W</sup>A<sup>-</sup>, SR<sup>9</sup>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,  
20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, polyalkyl, aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR<sup>9</sup>,

$N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; or

5  $R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkylidene, or

10  $R^{1A}$  and  $R^{2A}$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkylidene;

15  $R^3$ ,  $R^{3A}$ ,  $R^4$ , and  $R^{4A}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ , wherein  $R^9$  and  $R^{10}$  are as defined above; or

15  $R^3$  and  $R^4$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ , or

20  $R^{3A}$  and  $R^{4A}$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ ,

25 wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ ,  $CN$ , halogen, oxo, and  $CONR^9R^{10}$ ,

25 wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be  $OH$ ,  $NH_2$ , and  $SH$ , or

30  $R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

wherein  $A^-$  is a pharmaceutically acceptable anion and  $M^+$  is a pharmaceutically acceptable cation;

$R^7$ ,  $R^{7A}$ ,  $R^8$ , and  $R^{8A}$  are independently selected from the group consisting of hydrogen and alkyl; and one or more  $R^X$  and  $R^{XA}$  are independently selected from the group consisting of H, alkyl, alkenyl, 5 alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heterocycle, polyether, quaternary heterocycle, quaternary heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)2R^{13}$ ,  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , 10  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid, 15 peptide, polypeptide, and carbohydrate, wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , 20  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and 25 wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl, wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituent selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,

SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, and C(O)OM,  
wherein in R<sup>x</sup> and R<sup>x<sup>a</sup></sup>, one or more carbons are  
optionally replaced by O, NR<sup>13</sup>, N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A-, S, SO, SO<sub>2</sub>,  
S<sup>+</sup>R<sup>13</sup>A-, PR<sup>13</sup>, P(O)R<sup>13</sup>, P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A-, phenylene, amino  
acid, peptide, polypeptide, carbohydrate, polyether, or  
polyalkyl,

5 wherein in said polyalkyl, phenylene, amino acid,  
peptide, polypeptide, and carbohydrate, one or more  
carbons are optionally replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-,  
10 S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A-, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, or P(O)R<sup>9</sup>;

wherein quaternary heterocycle and quaternary  
heteroaryl are optionally substituted with one or more  
groups selected from the group consisting of alkyl,  
15 alkenyl, alkynyl, polyalkyl, polyether, aryl,  
haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen,  
oxo, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, SO<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>,  
NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM,  
SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, C(O)OM, COR<sup>13</sup>, P(O)R<sup>13</sup>R<sup>14</sup>,  
P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A-, P(OR<sup>13</sup>)OR<sup>14</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A-, and N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A-.

20 R<sup>19</sup> is selected from the group consisting of alkane  
diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy  
diyl, polyether diyl, polyalkoxy diyl, carbohydrate,  
amino acid, and peptide, polypeptide, wherein alkane  
diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy  
25 diyl, polyether diyl, polyalkoxy diyl, carbohydrate,  
amino acid, and peptide polypeptide, can optionally  
have one or more carbon replaced by O, NR<sup>7</sup>, N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, S,  
SO, SO<sup>2</sup>, S<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, PR<sup>7</sup>, P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, phenylene, heterocycle,  
quaternary heterocycle, quaternary heteroaryl, or aryl,

30 wherein alkane diyl, alkene diyl, alkyne diyl,  
polyalkane diyl, alkoxy diyl, polyether diyl,

polyalkoxy diyl, carbohydrate, amino acid, peptide, and polypeptide can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 5 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and 10  $N^+R^9R^{11}R^{12}A^-$ ;

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ , 15  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ ,  $oxo$ ,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ , 20  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene.

25 122. A compound of claim 121, wherein  $R^1$ ,  $R^{1A}$ ,  $R^2$ , and  $R^{2A}$  are independently selected from the group consisting of  $H$  and alkyl.

30 123. A compound of claim 122, wherein  $R^1$ ,  $R^{1A}$ ,  $R^2$ , and  $R^{2A}$  are independently selected from the group

consisting of H and C<sub>1</sub>-C<sub>10</sub> alkyl.

124. A compound of claim 123, wherein said alkyl is a C<sub>2</sub>-C<sub>4</sub> alkyl.

5

125. A compound of claim 124, wherein R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>, and R<sup>2A</sup> are independently C<sub>2</sub>-C<sub>4</sub> alkyl.

10

126. A compound of claim 125, wherein R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>, and R<sup>2A</sup> are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

15

127. A compound of claim 125, wherein R<sup>3</sup>, R<sup>3A</sup>, R<sup>4</sup>, and R<sup>4A</sup> are independently selected from the group consisting of H and OR<sup>9</sup>.

128. A compound of claim 127, wherein R<sup>9</sup> is H.

20

129. A compound of claim 121, wherein R<sup>7</sup>, R<sup>7A</sup>, R<sup>8</sup>, and R<sup>8A</sup> are H.

25

130. A compound of claim 121, wherein d and e are independently 1 or 2.

131. A compound of claim 130, wherein d and e are both 2.

30

132. A compound of claim 121, wherein one or more R<sup>X</sup> and one or more R<sup>X</sup>A are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>13</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>, CO<sub>2</sub>R<sup>13</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, and NR<sup>14</sup>C(O)R<sup>13</sup>, wherein alkyl, aryl, cycloalkyl, heterocycle,

polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

5 wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

10 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

15 133. A compound of claim 121, wherein one or more  $R^Y$  and one or more  $R^Y^A$  are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ ,

20 wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

25 134. A compound of claim 121, wherein  $R^{19}$  is selected from the group consisting of alkane diyl, polyalkane diyl, alkoxy diyl, and polyalkoxy diyl, wherein alkane diyl and polyalkane diyl can optionally have one or more carbon replaced by O,  $NR^7$ ,  $N^+R^7R^8$ , S, SO,  $SO_2$ ,  $S^+R^7R^8$ ,  $PR^7$ ,  $P^+R^7R^8$ , or phenylene.

SRL 6071  
(C-3021/2)

566

135. A compound of claim 134, wherein  $R^{19}$  is selected from the group consisting of alkoxy diyl and polyalkoxydiyl wherein one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}$ , S, SO,  $SO_2$ ,  $S^+R^9R^{10}$ ,  $PR^9$ ,  $P^+R^9R^{10}$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, or polyalkyl.

5 136. A compound of claim 135, wherein  $R^1$ ,  $R^{1A}$ ,  $R^2$ , and  $R^{2A}$  are independently selected from the group  
10 consisting of H and alkyl.

137. A compound of claim 136, wherein  $R^3$ ,  $R^{3A}$ ,  $R^4$ , and  $R^{4A}$  are independently selected from the group consisting of H and  $OR^9$ .

15 138. A compound of claim 137, wherein  $R^9$  is H.

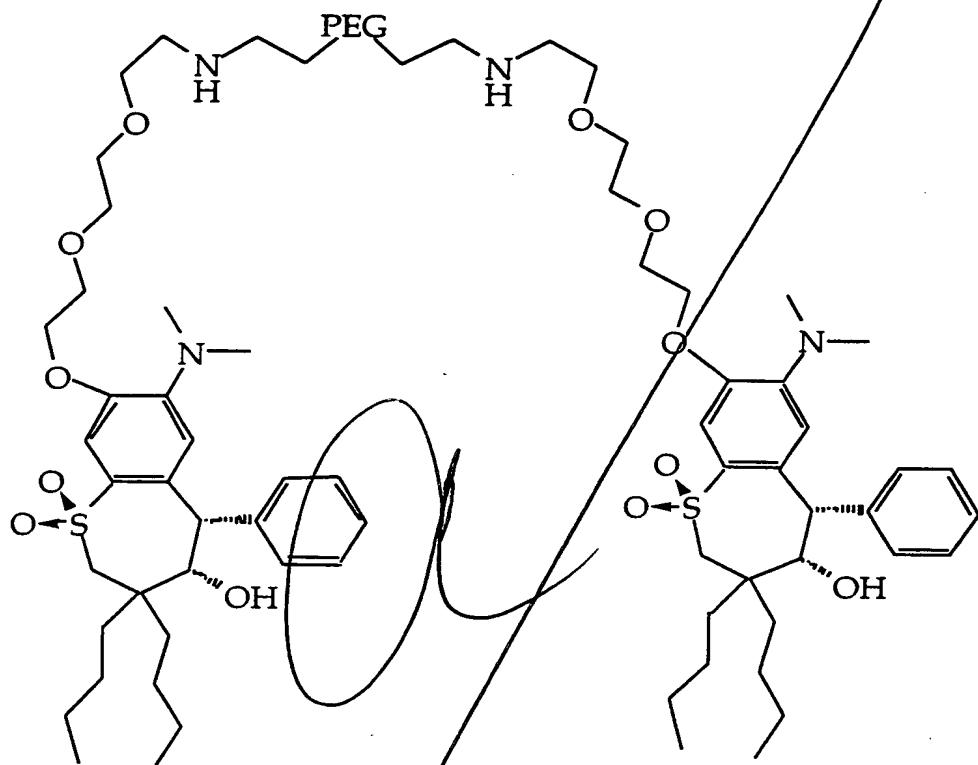
139. A compound of claim 138, wherein  $R^7$ ,  $R^{7A}$ ,  $R^8$ , and  $R^{8A}$  are each H.

20 140. A compound of claim 139, wherein d and e are independently 1 or 2.

SRL 6071  
(C-3021/2)

567

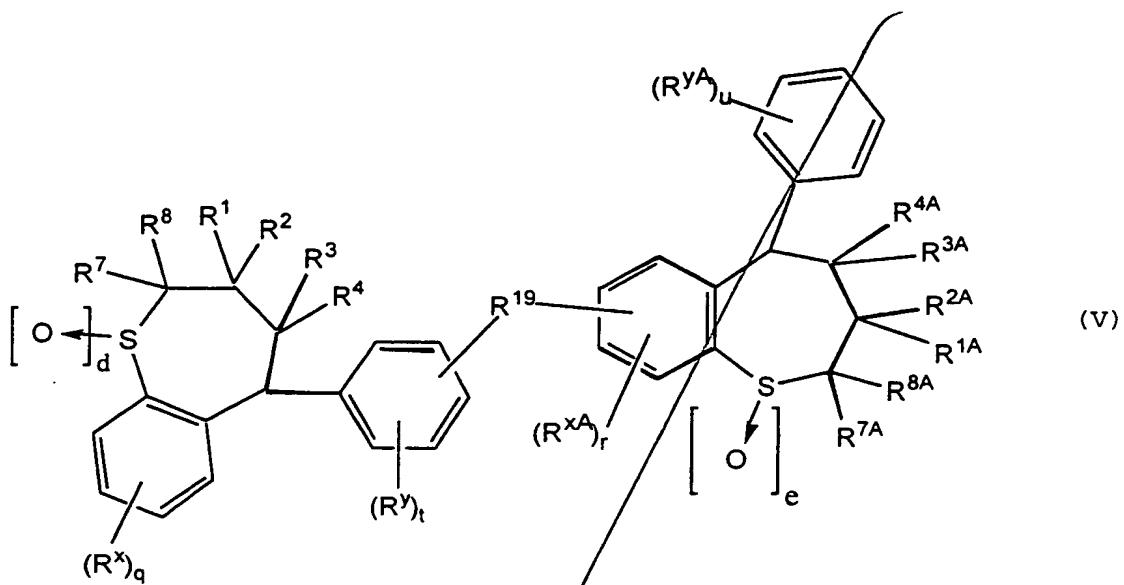
141. A compound of claim 140, having the formula:



PEG = 3400 molecular weight polyethylene glycol polymer chain

5

142. A compound of formula (V)



wherein :

q is an integer from 0 to 4;

5 r is an integer from 0 to 3;

d and e are independently integers from 0 to 2;

t is an integer from 0 to 4;

u is an integer from 0 to 5;

10 R<sup>1</sup>, R<sup>1A</sup>, R<sup>2</sup>, and R<sup>2A</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituent selected from the group consisting of OR<sup>9</sup>,

NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>WA<sup>-</sup>, SR<sup>9</sup>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>,

20 SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, polyalkyl, aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR<sup>9</sup>,

$N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; or

5  $R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkylidene, or

$R^{1A}$  and  $R^{2A}$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkylidene;

10  $R^3$ ,  $R^{3A}$ ,  $R^4$ , and  $R^{4A}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ , wherein  $R^9$  and  $R^{10}$  are as defined above; or

15  $R^3$  and  $R^4$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ , or

$R^{3A}$  and  $R^{4A}$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ ,

20 wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

25 wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be OH, NH<sub>2</sub>, and SH, or

$R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

30 wherein  $A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation;

R<sup>7</sup>, R<sup>7A</sup>, R<sup>8</sup>, and R<sup>8A</sup> are independently selected from the group consisting of hydrogen and alkyl; and one or more R<sup>X</sup> and R<sup>Xa</sup> are independently selected from the group consisting of H, alkyl, alkenyl, 5 alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heterocycle, polyether, quaternary heterocycle, quaternary heteroaryl, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, S(O)<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, 10 CN, OM, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, C(O)OM, COR<sup>13</sup>, OR<sup>18</sup>, S(O)<sub>n</sub>NR<sup>18</sup>, NR<sup>13</sup>R<sup>18</sup>, NR<sup>18</sup>OR<sup>14</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, amino acid, peptide, polypeptide, and carbohydrate, 15 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, 20 P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or C(O)OM, and wherein R<sup>18</sup> is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl, 25 wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituent selected from the group consisting of OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>3</sub>R<sup>9</sup>,

SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, and C(O)OM,  
 wherein in R<sup>x</sup> and R<sup>xA</sup>, one or more carbons are  
 optionally replaced by O, NR<sup>13</sup>, N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>,  
 S<sup>+</sup>R<sup>13</sup>A<sup>-</sup>, PR<sup>13</sup>, P(O)R<sup>13</sup>, P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, phenylene, amino  
 acid, peptide, polypeptide, carbohydrate, polyether, or  
 polyalkyl,

wherein in said polyalkyl, phenylene, amino acid,  
 peptide, polypeptide, and carbohydrate, one or more  
 carbons are optionally replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>,  
 S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or P(O)R<sup>9</sup>;

wherein quaternary heterocycle and quaternary  
 heteroaryl are optionally substituted with one or more  
 groups selected from the group consisting of alkyl,  
 alkenyl, alkynyl, polyalkyl, polyether, aryl,  
 15 haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen,  
 oxo, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, SO<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>,  
 NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM,  
 SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, C(O)OM, COR<sup>13</sup>, P(O)R<sup>13</sup>R<sup>14</sup>,  
 P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>, P(OR<sup>13</sup>)OR<sup>14</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, and N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>,

20 R<sup>19</sup> is selected from the group consisting of alkane  
 diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy  
 diyl, polyether diyl, polyalkoxy diyl, carbohydrate,  
 amino acid, and peptide, polypeptide, wherein alkane  
 diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy  
 25 diyl, polyether diyl, polyalkoxy diyl, carbohydrate,  
 amino acid, and peptide polypeptide, can optionally  
 have one or more carbon replaced by O, NR<sup>7</sup>, N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, S,  
 SO, SO<sup>2</sup>, S<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, PR<sup>7</sup>, P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>, phenylene, heterocycle,  
 quaternary heterocycle, quaternary heteroaryl, or aryl,  
 30 wherein alkane diyl, alkene diyl, alkyne diyl,  
 polyalkane diyl, alkoxy diyl, polyether diyl,

polyalkoxy diyl, carbohydrate, amino acid, peptide, and polypeptide can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 5 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and 10  $N^+R^9R^{11}R^{12}A^-$ ;

wherein one or more  $R^y$  and  $R^{yA}$  are independently selected from from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, 15 quaternary heterocycle,  $OR^9$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, and heterocycle can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 20 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and 25  $N^+R^9R^{11}R^{12}A^-$ ,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ , 30  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,

$\text{CONR}^7\text{R}^8$ ,  $\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $\text{P}(\text{O})\text{R}^7\text{R}^8$ ,  $\text{P}^+\text{R}^7\text{R}^8\text{A}^-$ , and  $\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$ , and

5 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $\text{O}$ ,  $\text{NR}^7$ ,  $\text{N}^+\text{R}^7\text{R}^8\text{A}^-$ ,  $\text{S}$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^7\text{A}^-$ ,  $\text{PR}^7$ ,  $\text{P}(\text{O})\text{R}^7$ ,  $\text{P}^+\text{R}^7\text{R}^8\text{A}^-$ , or phenylene.

10

143. A compound of claim 142, wherein  $\text{R}^1$ ,  $\text{R}^{1\text{A}}$ ,  $\text{R}^2$ , and  $\text{R}^{2\text{A}}$  are independently selected from the group consisting of  $\text{H}$  and alkyl.

15

144. A compound of claim 143, wherein  $\text{R}^1$ ,  $\text{R}^{1\text{A}}$ ,  $\text{R}^2$ , and  $\text{R}^{2\text{A}}$  are independently selected from the group consisting of  $\text{H}$  and  $\text{C}_1\text{-C}_{10}$  alkyl.

20

145. A compound of claim 144, wherein said alkyl is a  $\text{C}_2\text{-C}_7$  alkyl.

25 146. A compound of claim 145, wherein  $\text{R}^1$ ,  $\text{R}^{1\text{A}}$ ,  $\text{R}^2$ , and  $\text{R}^{2\text{A}}$  are independently  $\text{C}_2\text{-C}_4$  alkyl.

25

147. A compound of claim 146, wherein  $\text{R}^1$ ,  $\text{R}^{1\text{A}}$ ,  $\text{R}^2$ , and  $\text{R}^{2\text{A}}$  are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

30

148. A compound of claim 142, wherein  $\text{R}^3$ ,  $\text{R}^{3\text{A}}$ ,  $\text{R}^4$ , and  $\text{R}^{4\text{A}}$  are independently selected from the group consisting of  $\text{H}$  and  $\text{OR}^9$ .

149. A compound of claim 148, wherein  $\text{R}^9$  is  $\text{H}$ .

150. A compound of claim 142, wherein  $R^7$ ,  $R^{7A}$ ,  $R^8$ , and  $R^{8A}$  are H.

5 151. A compound of claim 142, wherein d and e are independently 1 or 2.

152. A compound of claim 151, wherein d and e are both 2.

10 153. A compound of claim 142, wherein one or more  $R^x$  and one or more  $R^{xA}$  are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^{13}$ ,  $S^+R^{13}R^{14}$ ,  $CO_2R^{13}$ ,  $NR^{14}C(O)R^{13}$ , and  $NR^{14}C(O)R^{13}$ , wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and wherein in  $R^x$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl and wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ .

154. A compound of claim 142, wherein one or more  $R^Y$  and one or more  $R^{YA}$  are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide,  $NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ , and  $OR^{13}$ ,

5

wherein alkyl and polyether can be further substituted with  $SO_3R^9$ ,  $N^+R^9R^{11}R^{12}A^-$ , and quaternary heteroaryl.

10 155. A compound of claim 142, wherein  $R^{19}$  is selected from the group consisting of alkane diyl, polyalkane diyl, alkoxy diyl, and polyalkoxy diyl, wherein alkane diyl and polyalkane diyl can optionally have one or more carbon replaced by O,  $NR_7$ ,  $N+R_7R_8$ , S, 15  $SO$ ,  $SO_2$ ,  $S+R_7R_8$ ,  $PR_7$ ,  $P+R_7R_8$ , or phenylene.

156. A compound of claim 155, wherein  $R^{19}$  is selected from the group consisting of alkoxy diyl and polyalkoxydiyl wherein one or more carbons are 20 optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}$ , S,  $SO$ ,  $SO_2$ ,  $S^+R^9R^{10}$ ,  $PR^9$ ,  $P^+R^9R^{10}$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, or polyalkyl.

25 157. A compound of claim 156, wherein  $R^1$ ,  $R^{1A}$ ,  $R^2$ , and  $R^{2A}$  are independently selected from the group consisting of H and alkyl.

30 158. A compound of claim 157, wherein  $R^3$ ,  $R^{3A}$ ,  $R^4$ , and  $R^{4A}$  are independently selected from the group consisting of H and  $OR^9$ .

159. A compound of claim 158, wherein  $R^9$  is H.

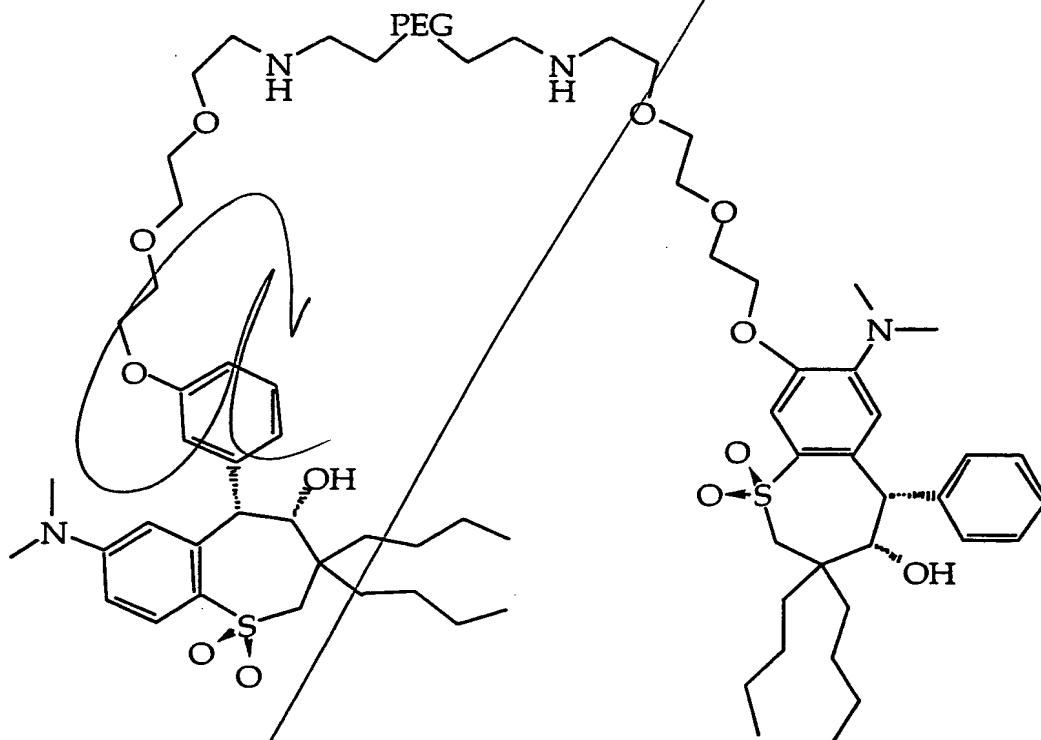
SRL 6071  
(C-3021/2)

576

160. A compound of claim 159, wherein  $R^7$ ,  $R^{7A}$ ,  $R^8$ , and  $R^{8A}$  are each H.

161. A compound of claim 160, wherein d and e are  
5 independently 1 or 2.

162. A compound of claim 161, having the formula:



PEG = 3400 molecular weight polyethylene glycol polymer chain

10

163. A pharmaceutical composition comprising an anti-hyperlipidemic condition effective amount of a compound of formula (I) of claim 1, and a pharmaceutically acceptable carrier.

15

164. A pharmaceutical composition comprising an anti-atherosclerotic effective amount of a compound of

a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent

5 groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ ,  $oxo$ ,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

10 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, aryl, arylalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, and quaternary heteroarylalkyl,

15 wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by  $O$ ,  $NR^9$ ,  $N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ ,  $P(O)R^9$ , phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

20  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of sulfoalkyl, quaternary heterocycle, quaternary heteroaryl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $oxo$ ,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,

$\text{SO}_2\text{NR}^9\text{R}^{10}$ ,  $\text{PO}(\text{OR}^{16})\text{OR}^{17}$ ,  $\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$ ,  $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$ , and  $\text{C}(\text{O})\text{OM}$ ,

wherein  $\text{R}^{16}$  and  $\text{R}^{17}$  are independently selected from the substituents constituting  $\text{R}^9$  and  $\text{M}$ ; or

5  $\text{R}^{14}$  and  $\text{R}^{15}$ , together with the nitrogen atom to which they are attached, form a cyclic ring; and

$\text{R}^6$  is hydroxy; and

7  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen and alkyl; and

10 one or more  $\text{R}^x$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary

15 heteroaryl,  $\text{OR}^{13}$ ,  $\text{NR}^{13}\text{R}^{14}$ ,  $\text{SR}^{13}$ ,  $\text{S}(\text{O})\text{R}^{13}$ ,  $\text{S}(\text{O})_2\text{R}^{13}$ ,  $\text{SO}_3\text{R}^{13}$ ,  $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ,  $\text{NR}^{13}\text{OR}^{14}$ ,  $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ,  $\text{NO}_2$ ,  $\text{CO}_2\text{R}^{13}$ ,

$\text{CN}$ ,  $\text{OM}$ ,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ,  $\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$ ,  $\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ,

$\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$ ,  $\text{C}(\text{O})\text{OM}$ ,  $\text{CO}\text{R}^{13}$ ,  $\text{OR}^{18}$ ,  $\text{S}(\text{O})_n\text{NR}^{18}$ ,  $\text{NR}^{13}\text{R}^{18}$ ,

20  $\text{NR}^{18}\text{OR}^{14}$ ,  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ , amino acid, peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary

heteroaryl can be further substituted with  $\text{OR}^9$ ,  $\text{NR}^9\text{R}^{10}$ ,

25  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{SR}^9$ ,  $\text{S}(\text{O})\text{R}^9$ ,  $\text{SO}_2\text{R}^9$ ,  $\text{SO}_3\text{R}^9$ , oxo,  $\text{CO}_2\text{R}^9$ ,

$\text{CN}$ , halogen,  $\text{CONR}^9\text{R}^{10}$ ,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^9\text{R}^{10}$ ,  $\text{PO}(\text{OR}^{16})\text{OR}^{17}$ ,

$\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$ , or  $\text{C}(\text{O})\text{OM}$ , and

wherein  $\text{R}^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,

heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

provided that both  $R^5$  and  $R^6$  cannot be hydrogen, OH, or SH;

SRL 6071  
(C-3021/2)

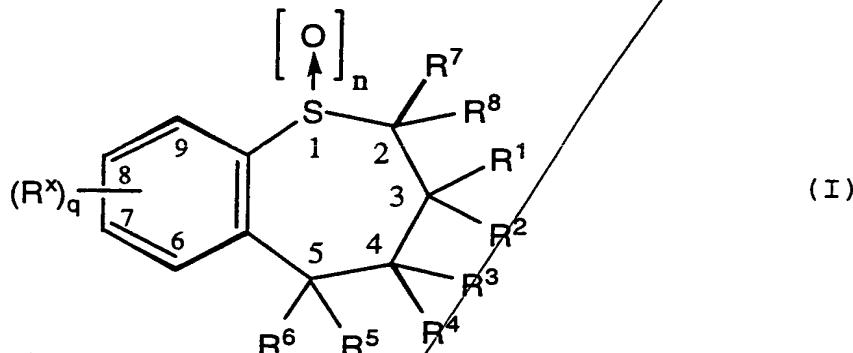
583

provided that when  $R^5$  is phenyl, only one of  $R^1$  or  $R^2$  is H; or

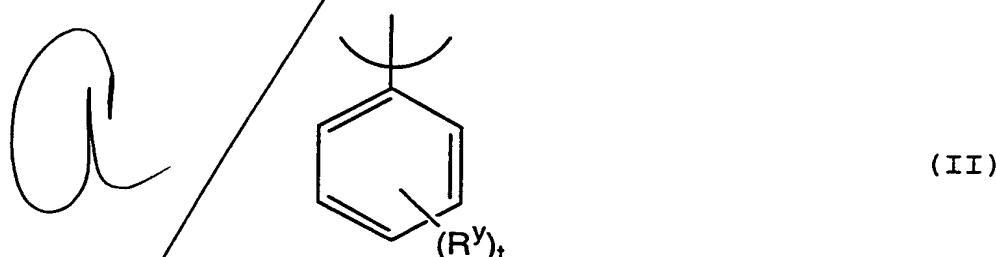
a pharmaceutically acceptable salt, solvate, or prodrug thereof.

5

170. A compound of formula I:



wherein:

 $q$  is 1 or 2;10  $n$  is 2; $R^1$  and  $R^2$  are each alkyl; $R^3$  is hydroxy; $R^4$  and  $R^6$  are hydrogen; $R^5$  has the formula (II)

15

wherein  $t$  is an integer from 0 to 5;one or more  $R^y$  are  $OR^{13}$ ;20  $R^{13}$  is selected from the group consisting of

hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, aryl, arylalkyl, cycloalkyl, heterocycle, heteroaryl,

SRL 6071  
(C-3021/2)

584

quaternary heterocycle, quaternary heteroaryl, and  
quaternary heteroarylalkyl;

5        said  $R^{13}$  alkyl, alkenyl, alkynyl, arylalkyl,  
heterocycle, and polyalkyl groups optionally have one  
or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S,  $SO$ ,  $SO_2$ ,  
 $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ ,  $P(O)R^9$ , phenylene, carbohydrate,  
amino acid, peptide, or polypeptide;

10       $R^{13}$  is optionally substituted with one or more  
groups selected from the group consisting of  
sulfoalkyl, quaternary heterocycle, quaternary  
heteroaryl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  
 $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  
 $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and  $C(O)OM$ ,  
wherein  $A^-$  is a pharmaceutically acceptable anion,  
15      and M is a pharmaceutically acceptable cation,

20       $R^9$  and  $R^{10}$  are independently selected from the  
group consisting of H, alkyl, alkenyl, alkynyl,  
cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl,  
arylalkyl, and alkylammoniumalkyl;

25       $R^{11}$  and  $R^{12}$  are independently selected from the  
group consisting of H, alkyl, alkenyl, alkynyl, aryl,  
arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle,  
carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl,  
 $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen,  
oxo, and  $CONR^9R^{10}$ , wherein  $R^9$  and  $R^{10}$  are as defined  
above, provided that both  $R^3$  and  $R^4$  cannot be OH,  $NH_2$ ,  
and  $SH$ ; or

30       $R^{11}$  and  $R^{12}$  together with the nitrogen or carbon  
atom to which they are attached form a cyclic ring; and

$R^{16}$  and  $R^{17}$  are independently selected from the  
substituents constituting  $R^9$  and M;

$R^7$  and  $R^8$  are hydrogen; and

SRL 6071  
(C-3021/2)

585

one or more R<sup>x</sup> are independently selected from the group consisting of alkoxy, alkylamino and dialkylamino; or

5 a pharmaceutically acceptable salt, solvate, or prodrug thereof.

171. A compound of claim 170 wherein R<sup>1</sup> and R<sup>2</sup> are each n-butyl.

10 172. A compound of claim 171 wherein t is 1, R<sup>y</sup> is OR<sup>13</sup>, and R<sup>13</sup> is as defined in claim 170.

15 173. A compound of claim 172 wherein one or more R<sup>x</sup> are independently selected from methoxy and dimethylamino.

174. A compound of claim 172 wherein R<sup>x</sup> is dimethylamino.

20 175. A compound of claim 172 wherein:  
t is 1;  
R<sup>y</sup> is para-OR<sup>13</sup>; and  
R<sup>13</sup> is as defined in claim 170.

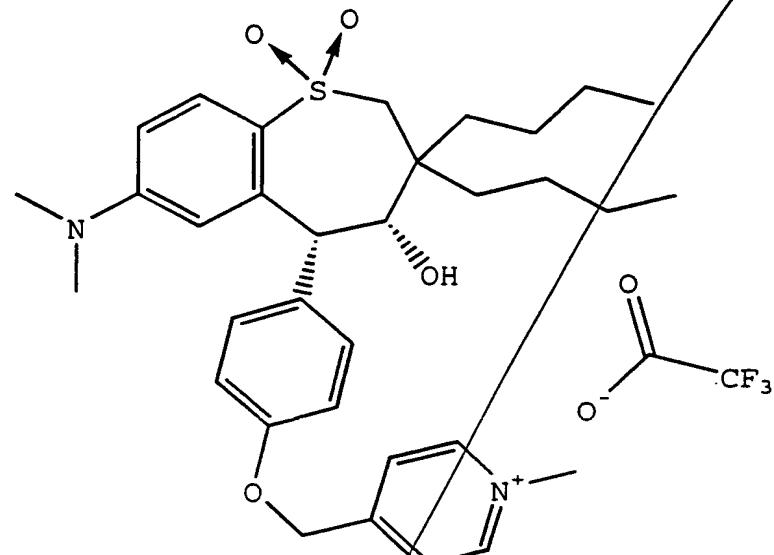
25 176. A compound of claim 172 wherein:  
t is 1;  
R<sup>y</sup> is meta-OR<sup>13</sup>; and  
R<sup>13</sup> is as defined in claim 170.

30 177. A compound of claim 172 having the 4R,5R configuration.

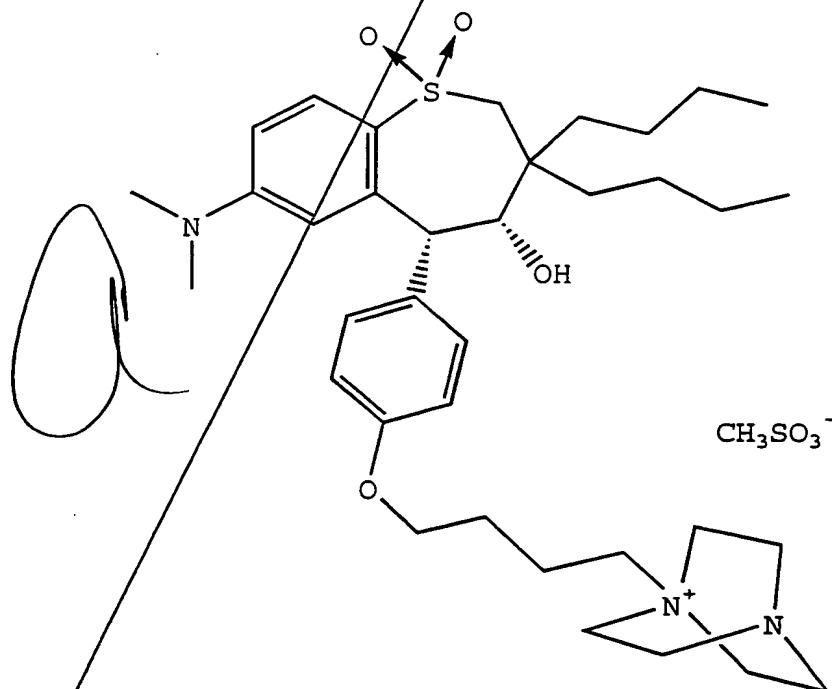
178. A compound of claim 170 having the structural formula:

SRL 6071  
(C-3021/2)

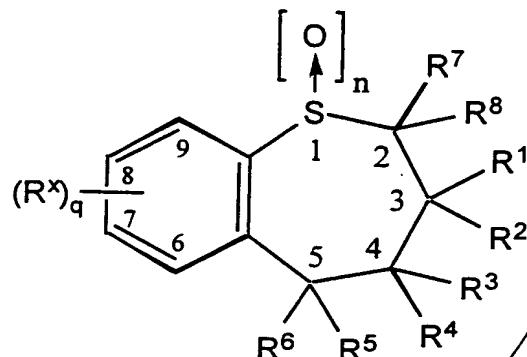
586



179. A compound of claim 170 having the  
5 structural formula:



180. A compound of formula (I):



(I)

wherein:

q is an integer from 1 to 4;

5 n is an integer from 0 to 2;

10 R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>W<sup>-</sup>, SR<sup>9</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or phenylene, 25 wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>W</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,

ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

5  $R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3$ - $C_{10}$  cycloalkyl;

10  $R^3$  and  $R^4$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ , wherein  $R^9$  and  $R^{10}$  are as defined above; or

15  $R^3$  and  $R^4$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ ,

20 wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ , wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be OH,  $NH_2$ , and SH, or

25  $R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

30  $R^5$  is aryl substituted with one or more  $OR^{13a}$ , wherein  $R^{13a}$  is selected from the group consisting of polyether, aryl, alkylarylkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

$R^{13a}$  is optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and  $C(O)OM$ ,

wherein  $A^-$  is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the substituents constituting  $R^9$  and M; and

$R^6$  is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,

SRL 6071  
(C-3021/2)

590

$NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  
 $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein:

$A^-$  is a pharmaceutically acceptable anion and M is  
a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl,  
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle  
can be further substituted with one or more substituent  
groups selected from the group consisting of  $OR^7$ ,

$NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ ,  $oxo$ ,  
 $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl,  
cycloalkyl, heterocycle, arylalkyl, quaternary  
heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,

$P^+R^7R^8R^9A^-$ , and  $P(OR^7)OR^8$  and

wherein said alkyl, alkenyl, alkynyl, polyalkyl,  
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle  
can optionally have one or more carbons replaced by O,

$NR^7$ ,  $N^+R^7R^8A^-$ , S, SO,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,

$P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are

independently selected from the group consisting of  
hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,

polyether, aryl, arylalkyl, alkylarylalkyl,

alkylheteroarylalkyl, alkylheterocyclalkyl,

cycloalkyl, heterocycle, heteroaryl, quaternary

heterocycle, quaternary heteroaryl, heterocyclalkyl,

heteroarylalkyl, quaternary heterocyclalkyl,

quaternary heteroarylalkyl, alkylammoniumalkyl, and

carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl,

heterocycle, and polyalkyl optionally have one or more

carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,

$S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ ,  $P(O)R^9$ , phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

5  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and  $C(O)OM$ ,

10 wherein  $R^{16}$  and  $R^{17}$  are independently selected from the substituents constituting  $R^9$  and M; or  
15  $R^{13}$  and  $R^{14}$ , together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

20  $R^{14}$  and  $R^{15}$ , together with the nitrogen atom to which they are attached, form a cyclic ring; and  
25  $R^{30}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

30  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl; and

one or more  $R^x$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl,

polyalkyl, acyloxy, aryl, arylalkyl, halogen,  
 haloalkyl, cycloalkyl, heterocycle, heteroaryl,  
 polyether, quaternary heterocycle, quaternary  
 heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)2R^{13}$ ,  
 5  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  
 $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  
 $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)nNR^{18}$ ,  $NR^{13}R^{18}$ ,  
 $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid,  
 10 peptide, polypeptide, and carbohydrate,  
 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl,  
 polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl,  
 polyether, quaternary heterocycle, and quaternary  
 heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  
 $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  
 15  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  
 $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and  
 wherein  $R^{18}$  is selected from the group consisting  
 of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,  
 heteroaryl, alkyl,  
 20 wherein acyl, arylalkoxycarbonyl, arylalkyl,  
 heterocycle, heteroaryl, alkyl, quaternary heterocycle,  
 and quaternary heteroaryl optionally are substituted  
 with one or more substituents selected from the group  
 consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  
 25  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  
 $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,  
 wherein in  $R^X$ , one or more carbons are optionally  
 replaced by  $O$ ,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^{13}A^-$ ,  
 $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid,

peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more 5 carbons are optionally replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or P(O)R<sup>9</sup>,

wherein quaternary heterocycle and quaternary 10 heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, SO<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>, NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM, 15 SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, C(O)OM, COR<sup>13</sup>, P(O)R<sup>13</sup>R<sup>14</sup>, P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>, P(OR<sup>13</sup>)OR<sup>14</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, and N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, or a pharmaceutically acceptable salt, solvate, or prodrug thereof.

20 181. A compound of claim 180 wherein:

R<sup>5</sup> is phenyl substituted with OR<sup>13a</sup>;

25 R<sup>13a</sup> is independently selected from the group consisting of polyether, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, and carboxyalkylaminocarbonylalkyl; and

30 R<sup>13a</sup> is optionally substituted with one or more groups selected from the group consisting of carboxy, quaternary heterocycle, quaternary heteroaryl, and NR<sup>9</sup>R<sup>10</sup>.

182. A compound of claim 180 wherein n is 1 or 2.

183. A compound of claim 180 wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen and alkyl.

5

184. A compound of claim 180 wherein R<sup>7</sup> and R<sup>8</sup> are hydrogen.

10 185. A compound of claim 180 wherein R<sup>8</sup> and R<sup>4</sup> are independently selected from the group consisting of hydrogen and OR<sup>9</sup>.

15

186. A compound of claim 180 wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is hydroxy.

15

187. A compound of claim 180 wherein one or more R<sup>x</sup> are independently selected from the group consisting of OR<sup>13</sup> and NR<sup>13</sup>R<sup>14</sup>.

20

188. A compound of claim 180 wherein one or more R<sup>x</sup> are independently selected from methoxy and dimethylamino.

25

189. A compound of claim 180 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of hydrogen and alkyl.

30

190. A compound of claim 180 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting alkyl.

35

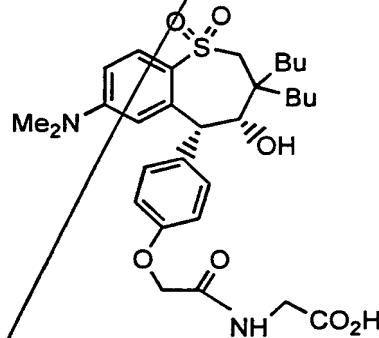
191. A compound of claim 180 wherein R<sup>1</sup> and R<sup>2</sup> are the same alkyl.

192. A compound of claim 180 wherein R<sup>1</sup> and R<sup>2</sup> are each n-butyl.

193. A compound of claim 180 wherein  
n is 1 or 2;  
R<sup>1</sup> and R<sup>2</sup> are n-butyl;  
5 R<sup>3</sup> and R<sup>6</sup> are hydrogen;  
R<sup>4</sup> is hydroxy;  
R<sup>7</sup> and R<sup>8</sup> are hydrogen; and  
one or more R<sup>x</sup> are independently selected from  
methoxy and dimethylamino.

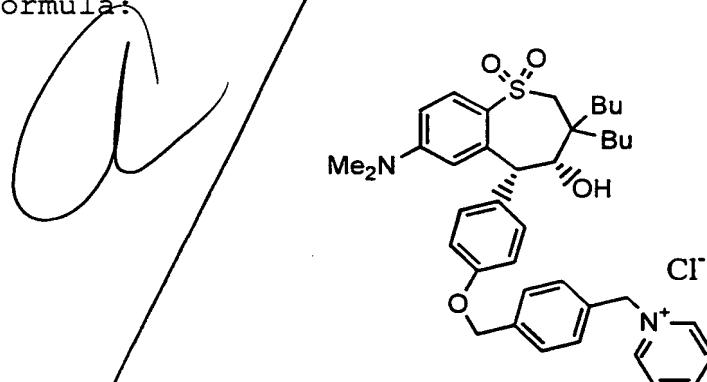
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194. A compound of claim 180 having the structural  
formula:



15

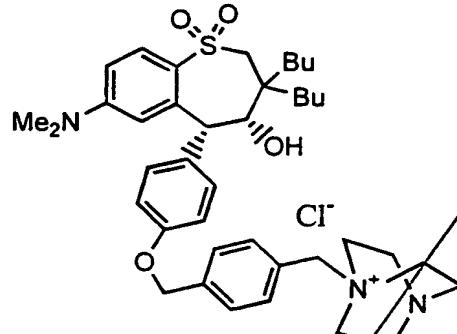
195. A compound of claim 180 having the structural  
formula:



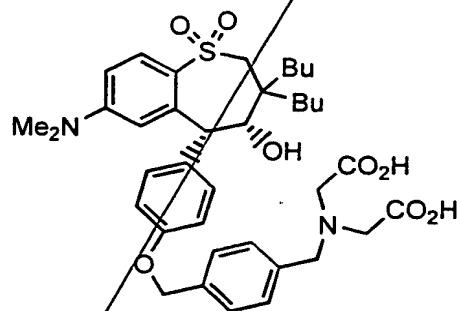
196. A compound of claim 180 having the structural  
formula:

SRL 6071  
(C-3021/2)

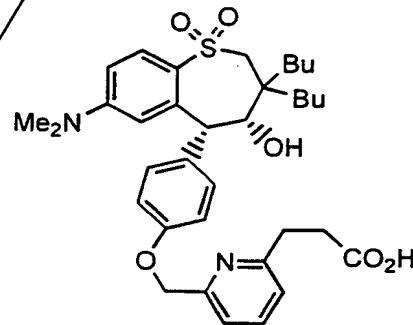
596



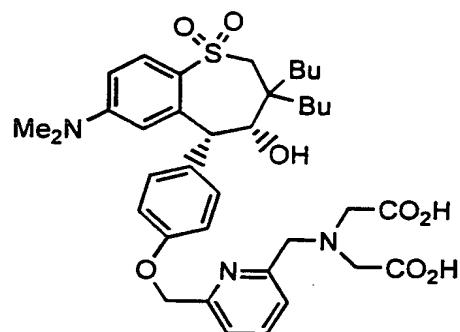
197. A compound of claim 180 having the structural formula:



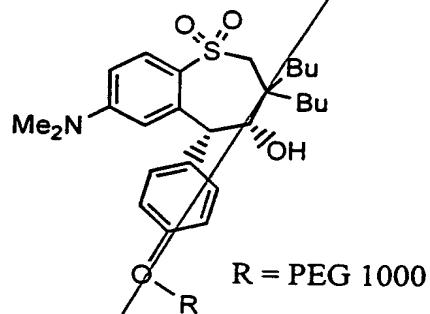
5 198. A compound of claim 180 having the structural formula:



199. A compound of claim 180 having the structural formula:

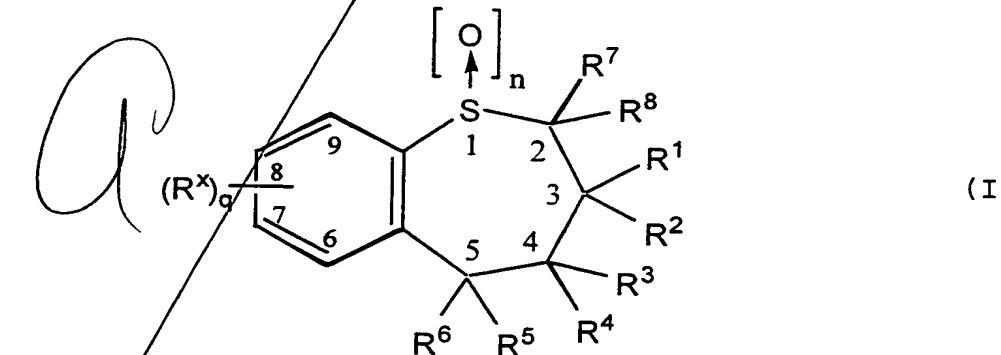


200. A compound of claim 180 having the structural formula:



5

201. A compound of formula (I):



wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

10  $R^1$  and  $R^2$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and

cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{10}R^WA^-$ ,  $SR^9$ ,  $S^+R^9R^{10}A^-$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

$R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkyl;

$R^3$  and  $R^4$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ , wherein  $R^9$  and  $R^{10}$  are as defined above; or

$R^3$  and  $R^4$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ ,

wherein  $R^{11}$  and  $R^{12}$  are independently selected

from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

5 wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be OH,  $NH_2$ , and SH, or

10  $R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

10  $R^5$  is aryl substituted with one or more  $OR^{13b}$ ,

15 wherein  $R^{13b}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

20  $R^{13b}$  is substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, or guanidinyl, and

25  $R^6$  is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

30 wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more

substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary 5 heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ , 10  $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,  
wherein:

$A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation,

15 said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo, 20  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(OR^7)OR^8$ , and

25 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are 30 independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,

polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

5 wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more 10 carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P(O)R<sup>9</sup>, phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

15 R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, 20 SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, and C(O)OM,

25 wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the substituents constituting R<sup>9</sup> and M; or

R<sup>13</sup> and R<sup>14</sup>, together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

30 R<sup>14</sup> and R<sup>15</sup>, together with the nitrogen atom to which they are attached, form a cyclic ring; and

5         $R^{30}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

7         $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl; and

10        one or more  $R^X$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)_2R^{13}$ ,  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid, peptide, polypeptide, and carbohydrate,

20        wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

25        wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

30        wherein acyl, arylalkoxycarbonyl, arylalkyl,

heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

5 wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or 10 polyalkyl,

15 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

20 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and 25  $N^+R^9R^{11}R^{12}A^-$ , or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

30 202. A compound of claim 201 wherein:  
 $R^5$  is phenyl substituted with  $OR^{13b}$ ;

$R^{13b}$  is independently selected from the group consisting of alkyl, quaternary heteroarylalkyl, and quaternary heterocyclalkyl; and

5  $R^{13b}$  is substituted with one or more groups selected from the group consisting of hydroxy, heterocycle, heteroaryl, and guanidinyl.

203. A compound of claim 201 wherein  $n$  is 1 or 2.

10 204. A compound of claim 201 wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl.

15 205. A compound of claim 201 wherein  $R^7$  and  $R^8$  are hydrogen.

206. A compound of claim 201 wherein  $R^3$  and  $R^4$  are independently selected from the group consisting of hydrogen and  $OR^9$ .

207. A compound of claim 201 wherein  $R^3$  is hydrogen and  $R^4$  is hydroxy.

25 208. A compound of claim 201 wherein one or more  $R^x$  are independently selected from the group consisting of  $OR^{13}$  and  $NR^{12}R^{14}$ .

30 209. A compound of claim 201 wherein one or more  $R^x$  are independently selected from methoxy and dimethylamino.

210. A compound of claim 201 wherein  $R^1$  and  $R^2$  are independently selected from the group consisting of hydrogen and alkyl.

211. A compound of claim 201 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting alkyl.

5 212. A compound of claim 201 wherein R<sup>1</sup> and R<sup>2</sup> are the same alkyl.

213. A compound of claim 201 wherein R<sup>1</sup> and R<sup>2</sup> are each n-butyl.

10 214. A compound of claim 201 wherein n is 1 or 2;

R<sup>1</sup> and R<sup>2</sup> are n-butyl;

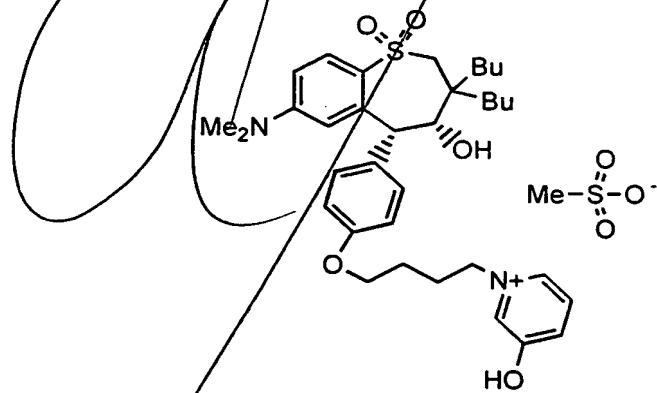
R<sup>3</sup> and R<sup>6</sup> are hydrogen;

R<sup>4</sup> is hydroxy;

15 R<sup>7</sup> and R<sup>8</sup> are hydrogen; and

one or more R<sup>x</sup> are independently selected from methoxy and dimethylamino.

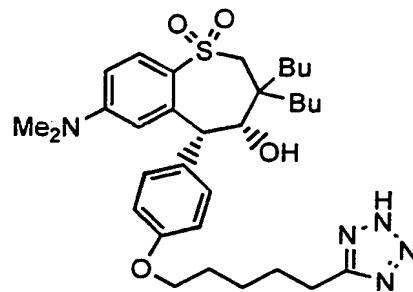
20 215. A compound of claim 201 having the structural formula:



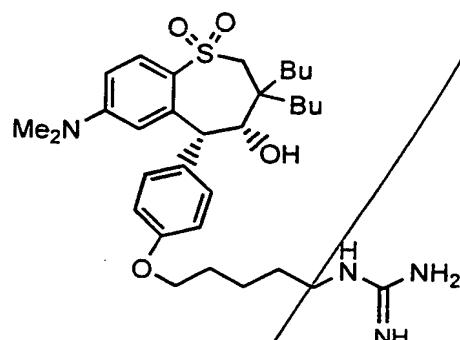
216. A compound of claim 201 having the structural formula:

SRL 6071  
(C-3021/2)

606

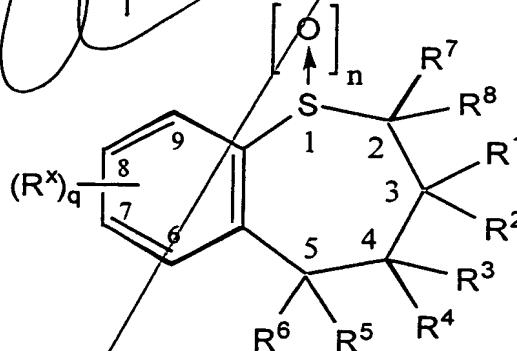


217. A compound of claim 201 having the structural formula:



5

218. A compound of formula (I):



wherein:

q is an integer from 1 to 4:

$n$  is an integer from 0 to 2:

$R^1$  and  $R^2$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl.

wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{10}R^WA^-$ ,  $SR^9$ ,  $S^+R^9R^{10}A^-$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

$R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkyl;

$R^3$  and  $R^4$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ , wherein  $R^9$  and  $R^{10}$  are as defined above; or

$R^3$  and  $R^4$  together form  $=O$ ,  $=NOR^{11}$ ,  $=S$ ,  $=NNR^{11}R^{12}$ ,  $=NR^9$ , or  $=CR^{11}R^{12}$ ,

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of H, alkyl, alkenyl,

alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl,

cycloalkyl, cyanoalkyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,

$SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

5 wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be OH,  $NH_2$ , and SH, or

$R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

10  $R^5$  is aryl substituted with one or more  $OR^{13b}$ ,

wherein  $R^{13b}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heteroarylalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

15  $R^{13b}$  is substituted with one or more groups

20 selected from the group consisting of  $OR^{9a}$ ,  $NR^{9a}R^{10}$ ,  $N^+R^{9a}R^{11}R^{12}A^-$ ,  $SR^{9a}$ ,  $S(O)R^{9a}$ ,  $SO_2R^{9a}$ ,  $SO_3R^{9a}$ ,  $CO_2R^{9a}$ ,  $CONR^{9a}R^{10}$ ,  $SO_2NR^{9a}R^{10}$ ,  $P^+R^{9a}R^{10}R^{11}A^-$ , and  $S^+R^{9a}R^{10}A^-$ ,

25 wherein  $A^-$  is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation, and

wherein  $R^{9a}$  is selected from the group consisting of carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, and carboxyalkylamino;

30  $R^6$  is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and

$\text{SO}_3\text{R}^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary

5 heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl,

polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary

10 heteroaryl, halogen, oxo,  $\text{OR}^{13}\text{R}^{14}$ ,  $\text{SR}^{13}$ ,  $\text{S(O)R}^{13}$ ,  $\text{SO}_2\text{R}^{13}$ ,  $\text{SO}_3\text{R}^{13}$ ,  $\text{NR}^{13}\text{OR}^{14}$ ,  $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ,  $\text{NO}_2$ ,  $\text{CO}_2\text{R}^{13}$ ,  $\text{CN}$ ,

OM,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ,  $\text{C(O)NR}^{13}\text{R}^{14}$ ,  $\text{C(O)OM}$ ,  $\text{COR}^{13}$ ,

15  $\text{NR}^{13}\text{C(O)R}^{14}$ ,  $\text{NR}^{13}\text{C(O)NR}^{14}\text{R}^{15}$ ,  $\text{NR}^{13}\text{CO}_2\text{R}^{14}$ ,  $\text{OC(O)R}^{13}$ ,

$\text{OC(O)NR}^{13}\text{R}^{14}$ ,  $\text{NR}^{13}\text{SOR}^{14}$ ,  $\text{NR}^{13}\text{SO}_2\text{R}^{14}$ ,  $\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$ ,

$\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$ ,  $\text{P(O)R}^{13}\text{R}^{14}$ ,  $\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ,  $\text{P(OR}^{13})\text{OR}^{14}$ ,

15  $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , and  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,

wherein:

$\text{A}^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl,

20 polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $\text{OR}^7$ ,

$\text{NR}^7\text{R}^8$ ,  $\text{SR}^7$ ,  $\text{S(O)R}^7$ ,  $\text{SO}_2\text{R}^7$ ,  $\text{SO}_3\text{R}^7$ ,  $\text{CO}_2\text{R}^7$ ,  $\text{CN}$ , oxo,

25  $\text{CONR}^7\text{R}^8$ ,  $\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ , alkyl, alkenyl, alkynyl, aryl,

cycloalkyl, heterocycle, arylalkyl, quaternary

heterocycle, quaternary heteroaryl,  $\text{P(O)R}^7\text{R}^8$ ,

$\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ , and  $\text{P(O)(OR}^7)\text{OR}^8$ , and

30 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O,

SRL 6071  
(C-3021/2)

610

NR<sup>7</sup>, N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A-, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>7</sup>A-, PR<sup>7</sup>, P(O)R<sup>7</sup>/,  
 P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A-, or phenylene, and R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are  
 independently selected from the group consisting of  
 hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,  
 5 polyether, aryl, arylalkyl, alkylarylalkyl,  
 alkylheteroarylalkyl, alkylheterocyclalkyl,  
 cycloalkyl, heterocycle, heteroaryl, quaternary  
 heterocycle, quaternary heteroaryl, heterocyclalkyl,  
 10 heteroarylalkyl, quaternary heterocyclalkyl,  
 quaternary heteroarylalkyl, alkylammoniumalkyl, and  
 carboxyalkylaminocarbonylalkyl,  
 wherein alkyl, alkenyl, alkynyl, arylalkyl,  
 heterocycle, and polyalkyl optionally have one or more  
 carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, S, SO, SO<sub>2</sub>,  
 15 S<sup>+</sup>R<sup>9</sup>A-, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, P(O)R<sup>9</sup>, phenylene, carbohydrate,  
 amino acid, peptide, or polypeptide, and  
 R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with  
 one or more groups selected from the group consisting  
 of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl,  
 20 heterocycle, heteroaryl, sulfoalkyl, quaternary  
 heterocycle, quaternary heteroaryl, quaternary  
 heterocyclalkyl, quaternary heteroarylalkyl,  
 guanidinyl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A-, SR<sup>9</sup>, S(O)R<sup>9</sup>,  
 SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, Oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM,  
 25 SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A-, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, and  
 C(O)OM,  
 wherein R<sup>16</sup> and R<sup>17</sup> are independently selected  
 from the substituents constituting R<sup>9</sup> and M; or  
 R<sup>13</sup> and R<sup>14</sup>, together with the nitrogen atom to  
 30 which they are attached form a mono- or polycyclic  
 heterocycle that is optionally substituted with one or

more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

$R^{14}$  and  $R^{15}$ , together with the nitrogen atom to which they are attached, form a cyclic ring; and

5  $R^{30}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, 10 heterocyclalkyl, and alkylammoniumalkyl; and

$R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl; and

15 one or more  $R^X$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)2R^{13}$ ,  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , 20  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid, peptide, polypeptide, and carbohydrate,

25 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , 30  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

5 wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  
10  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  
15  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

20 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  
25  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ , or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

219. A compound of claim 218 wherein:

5         $R^5$  is phenyl substituted with  $OR^{13b}$ ;  
           $R^{13b}$  is alkyl; and  
           $R^{13b}$  is substituted with one or more groups selected  
          from the group consisting of  $OR^{9a}$  and  $NR^{9a}R^{10}$ ; and  
10         $R^{9a}$  is selected from the group consisting of  
          carboxyalkyl, carboxyheteroaryl, and carboxyheterocycle;  
          and  
           $R^{10}$  is carboxyalkyl.

220. A compound of claim 218 wherein n is 1 or 2.

15        221. A compound of claim 218 wherein  $R^7$  and  $R^8$  are  
          independently selected from the group consisting of  
          hydrogen and alkyl.

20        222. A compound of claim 218 wherein  $R^7$  and  $R^8$  are  
          hydrogen.

25        223. A compound of claim 218 wherein  $R^3$  and  $R^4$  are  
          independently selected from the group consisting of  
          hydrogen and  $OR^9$ .

30        224. A compound of claim 218 wherein  $R^3$  is  
          hydrogen and  $R^4$  is hydroxy.

35        224. A compound of claim 218 wherein one or more  
           $R^x$  are independently selected from the group consisting  
          of  $OR^{13}$  and  $NR^{13}R^{14}$ .

35        226. A compound of claim 218 wherein one or more  
           $R^x$  are independently selected from methoxy and

dimethylamino.

227. A compound of claim 218 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of 5 hydrogen and alkyl.

228. A compound of claim 218 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting alkyl.

10 229. A compound of claim 218 wherein R<sup>1</sup> and R<sup>2</sup> are the same alkyl.

15 230. A compound of claim 218 wherein R<sup>1</sup> and R<sup>2</sup> are each n-butyl.

231. A compound of claim 218 wherein

n is 1 or 2;

R<sup>1</sup> and R<sup>2</sup> are n-butyl;

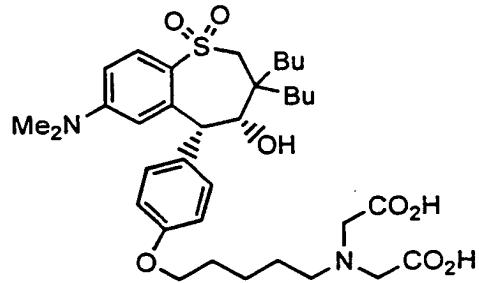
R<sup>3</sup> and R<sup>6</sup> are hydrogen;

20 R<sup>4</sup> is hydroxy;

R<sup>7</sup> and R<sup>8</sup> are hydrogen; and

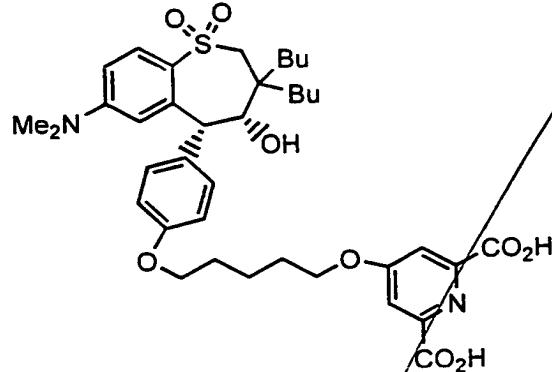
one or more R<sup>i</sup> are independently selected from methoxy and dimethylamino.

25 232. A compound of claim 218 having the structural formula:



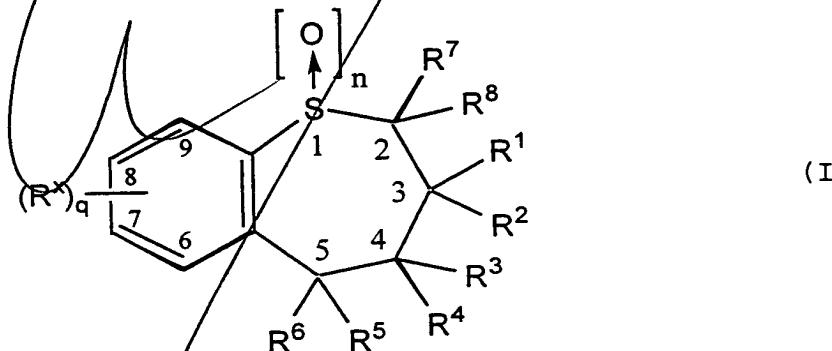
233. A compound of claim 218 having the structural

formula:



234. A compound of formula (I):

5



wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

10  $R^1$  and  $R^2$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,

$\text{NR}^9\text{R}^{10}$ ,  $\text{N}^+\text{R}^9\text{R}^{10}\text{R}^{\text{W}}\text{A}^-$ ,  $\text{SR}^9$ ,  $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$ ,  $\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$ ,  
 $\text{S}(\text{O})\text{R}^9$ ,  $\text{SO}_2\text{R}^9$ ,  $\text{SO}_3\text{R}^9$ ,  $\text{CO}_2\text{R}^9$ ,  $\text{CN}$ , halogen, oxo, and  
 $\text{CONR}^9\text{R}^{10}$ ,

5       wherein alkyl, alkenyl, alkynyl, alkylaryl,  
      alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl  
      optionally have one or more carbons replaced by  $\text{O}$ ,  $\text{NR}^9$ ,  
 $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ ,  $\text{S}$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^9\text{A}^-$ ,  $\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ , or phenylene,  
      wherein  $\text{R}^9$ ,  $\text{R}^{10}$ , and  $\text{R}^{\text{W}}$  are independently selected  
      from the group consisting of  $\text{H}$ , alkyl, alkenyl,  
10      alkynyl, cycloalkyl, aryl, acyl, heterocycle,  
      ammoniumalkyl, arylalkyl, carboxyalkyl,  
      carboxyheteroaryl, carboxyheterocycle,  
      carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,  
      heterocyclalkyl, and alkylammoniumalkyl; or  
15       $\text{R}^1$  and  $\text{R}^2$  taken together with the carbon to which  
      they are attached form  $\text{C}_3\text{-C}_{10}$  cycloalkyl;

20       $\text{R}^3$  and  $\text{R}^4$  are independently selected from the  
      group consisting of  $\text{H}$ , alkyl, alkenyl, alkynyl,  
      acyloxy, aryl, heterocycle,  $\text{OR}^9$ ,  $\text{NR}^9\text{R}^{10}$ ,  $\text{SR}^9$ ,  $\text{S}(\text{O})\text{R}^9$ ,  
       $\text{SO}_2\text{R}^9$ , and  $\text{SO}_3\text{R}^9$ , wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined  
      above; or

25       $\text{R}^3$  and  $\text{R}^4$  together form  $=\text{O}$ ,  $=\text{NOR}^{11}$ ,  $=\text{S}$ ,  $=\text{NNR}^{11}\text{R}^{12}$ ,  
       $=\text{NR}^9$ , or  $=\text{CR}^{11}\text{R}^{12}$ ,

30      wherein  $\text{R}^{11}$  and  $\text{R}^{12}$  are independently selected  
      from the group consisting of  $\text{H}$ , alkyl, alkenyl,  
      alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl,  
      heterocycle, carboxyalkyl, carboalkoxyalkyl,  
      cycloalkyl, cyanoalkyl,  $\text{OR}^9$ ,  $\text{NR}^9\text{R}^{10}$ ,  $\text{SR}^9$ ,  $\text{S}(\text{O})\text{R}^9$ ,  
       $\text{SO}_2\text{R}^9$ ,  $\text{SO}_3\text{R}^9$ ,  $\text{CO}_2\text{R}^9$ ,  $\text{CN}$ , halogen, oxo, and  $\text{CONR}^9\text{R}^{10}$ ,

wherein  $R^9$  and  $R^{10}$  are as defined above, provided that both  $R^3$  and  $R^4$  cannot be OH, NH<sub>2</sub>, and SH, or

$R^{11}$  and  $R^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

5  $R^5$  is aryl substituted with one or more  $OR^{13b}$ ,

wherein  $R^{13b}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

15  $R^{13b}$  is substituted with one or more groups selected from the group consisting of  $NR^9R^{10a}$ ,  $CONR^9R^{10a}$ ,  $SO_2NR^9R^{10a}$ ,  $P^+R^9R^{10a}R^{11}A^-$ , and  $S^+R^9R^{10a}A^-$ ,

wherein  $A^-$  is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

20 wherein  $R^{10a}$  is selected from the group consisting of carboxyalkyl, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, and heterocyclalkyl; or

25  $R^6$  is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the

group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,  $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein:

$A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation,  
said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylaryllalkyl,

alkylheteroarylalkyl, alkylheterocyclalkyl,  
 cycloalkyl, heterocycle, heteroaryl, quaternary  
 heterocycle, quaternary heteroaryl, heterocyclalkyl,  
 heteroarylalkyl, quaternary heterocyclalkyl,  
 5 quaternary heteroarylalkyl, alkylammoniumalkyl, and  
 carboxyalkylaminocarbonylalkyl,  
 wherein alkyl, alkenyl, alkynyl, arylalkyl,  
 heterocycle, and polyalkyl optionally have one or more  
 carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>,  
 10 S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P(O)R<sup>9</sup>, phenylene, carbohydrate,  
 amino acid, peptide, or polypeptide and  
 15 R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with  
 one or more groups selected from the group consisting  
 of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl,  
 heterocycle, heteroaryl, sulfoalkyl, quaternary  
 20 heterocycle, quaternary heteroaryl, quaternary  
 heterocyclalkyl, quaternary heteroarylalkyl,  
 guanidinyl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>,  
 SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM,  
 SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, and  
 C(O)OM,  
 25 wherein R<sup>16</sup> and R<sup>17</sup> are independently selected  
 from the substituents constituting R<sup>9</sup> and M; or  
 R<sup>13</sup> and R<sup>14</sup>, together with the nitrogen atom to  
 which they are attached form a mono- or polycyclic  
 heterocycle that is optionally substituted with one or  
 more radicals selected from the group consisting of  
 oxo, carboxy and quaternary salts; or  
 30 R<sup>14</sup> and R<sup>15</sup>, together with the nitrogen atom to  
 which they are attached, form a cyclic ring; and  
 R<sup>30</sup> is selected from the group consisting of alkyl,  
 alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,

ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

5  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl; and

one or more  $R^x$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, 10 haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)2R^{13}$ ,  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ , 15  $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  $NR^{18}OR^{14}$ ,  $N^+R^{11}R^{12}A^-$ ,  $P^+R^{11}R^{12}A^-$ , amino acid, peptide, polypeptide, and carbohydrate,

20 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

25 wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

30 wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted

with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

5 wherein in  $R^X$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

10 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

15 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ , or

25 a pharmaceutically acceptable salt, solvate, or prodrug thereof.

235. A compound of claim 234 wherein:

30  $R^5$  is phenyl substituted with  $OR^{13b}$ ;

$R^{13b}$  is alkyl; and

$R^{13b}$  is substituted with  $NR^9R^{10a}$ ; and

$R^9$  is hydrogen; and  
 $R^{10}$  is heteroarylalkyl.

236. A compound of claim 234 wherein  $n$  is 1 or 2.

5

237. A compound of claim 234 wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl.

10

238. A compound of claim 234 wherein  $R^7$  and  $R^8$  are hydrogen.

15

239. A compound of claim 234 wherein  $R^3$  and  $R^4$  are independently selected from the group consisting of hydrogen and  $OR^9$ .

240. A compound of claim 234 wherein  $R^3$  is hydrogen and  $R^4$  is hydroxy.

20

241. A compound of claim 234 wherein one or more  $R^x$  are independently selected from the group consisting of  $OR^{13}$  and  $NR^{13}R^{14}$ .

25

242. A compound of claim 234 wherein one or more  $R^x$  are independently selected from methoxy and dimethylamino.

30

243. A compound of claim 234 wherein  $R^1$  and  $R^2$  are independently selected from the group consisting of hydrogen and alkyl.

244. A compound of claim 234 wherein  $R^1$  and  $R^2$  are independently selected from the group consisting alkyl.

35

245. A compound of claim 234 wherein  $R^1$  and  $R^2$  are

the same alkyl.

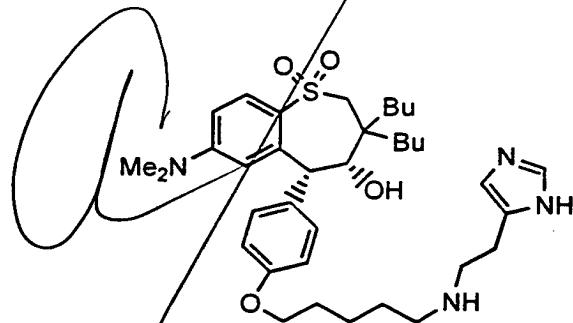
246. A compound of claim 234 wherein R<sup>1</sup> and R<sup>2</sup> are each n-butyl.

5

247. A compound of claim 234 wherein n is 1 or 2; R<sup>1</sup> and R<sup>2</sup> are n-butyl; R<sup>3</sup> and R<sup>6</sup> are hydrogen; R<sup>4</sup> is hydroxy; R<sup>7</sup> and R<sup>8</sup> are hydrogen; and one or more R<sup>x</sup> are independently selected from methoxy and dimethylamino.

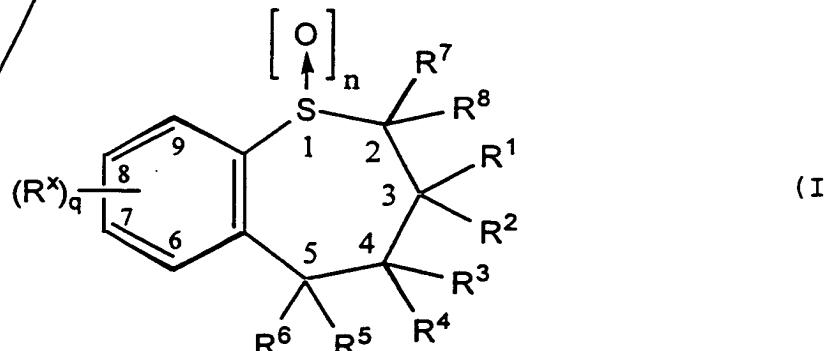
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248. A compound of claim 234 having the structural formula:



249. A compound of formula (I):

20



wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

5  $R^1$  and  $R^2$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, 10 dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{10}R^WA^-$ ,  $SR^9$ ,  $S^+R^9R^{10}A^-$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ ,  $CN$ , halogen, oxo, and 15  $CONR^9R^{10}$ ,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,

20 wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, 25 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

$R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkyl;

30  $R^3$  and  $R^4$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle,  $OR^9$ ,  $NR^9R^{10}$ ,  $SR^9$ ,  $S(O)R^9$ ,

$\text{SO}_2\text{R}^9$ , and  $\text{SO}_3\text{R}^9$ , wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above; or

$\text{R}^3$  and  $\text{R}^4$  together form  $=\text{O}$ ,  $=\text{NOR}^{11}$ ,  $=\text{S}$ ,  $=\text{NNR}^{11}\text{R}^{12}$ ,  $=\text{NR}^9$ , or  $=\text{CR}^{11}\text{R}^{12}$ ,

5 wherein  $\text{R}^{11}$  and  $\text{R}^{12}$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl,

10 cycloalkyl, cyanoalkyl,  $\text{OR}^9$ ,  $\text{NR}^9\text{R}^{10}$ ,  $\text{SR}^9$ ,  $\text{S}(\text{O})\text{R}^9$ ,  $\text{SO}_2\text{R}^9$ ,  $\text{SO}_3\text{R}^9$ ,  $\text{CO}_2\text{R}^9$ , CN, halogen, oxo, and  $\text{CONR}^9\text{R}^{10}$ ,

wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above, provided that both  $\text{R}^3$  and  $\text{R}^4$  cannot be OH,  $\text{NH}_2$ , and SH, or

$\text{R}^{11}$  and  $\text{R}^{12}$  together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

15  $\text{R}^5$  is aryl substituted with one or more substituent groups independently selected from the group consisting of  $\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$ ,  $\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$ ,  $\text{NR}^{13}\text{CO}_2\text{R}^{14}$ ,  $\text{OC}(\text{O})\text{R}^{13}$ ,  $\text{OCT}(\text{O})\text{NR}^{13}\text{R}^{14}$ ,  $\text{NR}^{13}\text{SOR}^{14}$ ,  $\text{NR}^{13}\text{SO}_2\text{R}^{14}$ ,  $\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$ , and  $\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$ ,

20 wherein:

$\text{R}^{13}$ ,  $\text{R}^{14}$ , and  $\text{R}^{15}$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

30  $\text{R}^{13}$ ,  $\text{R}^{14}$ , and  $\text{R}^{15}$  are optionally substituted with

one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, 5 guanidinyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and  $C(O)OM$ ,

10 wherein  $A^-$  is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

15 wherein  $R^{16}$  and  $R^{17}$  are independently selected from the substituents constituting  $R^9$  and M; or  $R^{13}$  and  $R^{14}$ , together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

20  $R^{14}$  and  $R^{15}$ , together with the nitrogen atom to which they are attached, form a cyclic ring; and

25  $R^6$  is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

30 wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary

heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  
 $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  
 $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  
 $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  
5  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,  
 $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  
 $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,  
wherein:

10  $A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  
15  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

20 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,  $P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are  
25 independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl,

30

heteroarylalkyl, quaternary heterocyclalkyl,  
quaternary heteroarylalkyl, alkylammoniumalkyl, and  
carboxyalkylaminocarbonylalkyl,

5 wherein alkyl, alkenyl, alkynyl, arylalkyl,  
heterocycle, and polyalkyl optionally have one or more  
carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>,  
S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P(O)R<sup>9</sup>, phenylene, carbohydrate,  
amino acid, peptide, or polypeptide, and

10 R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with  
one or more groups selected from the group consisting  
of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl,  
heterocycle, heteroaryl, sulfoalkyl, quaternary  
heterocycle, quaternary heteroaryl, quaternary  
heterocyclalkyl, quaternary heteroarylalkyl,  
15 guanidinyl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>,  
SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM,  
SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, and  
C(O)OM,

20 wherein R<sup>16</sup> and R<sup>17</sup> are independently selected  
from the substituents constituting R<sup>9</sup> and M; or  
R<sup>13</sup> and R<sup>14</sup>, together with the nitrogen atom to  
which they are attached form a mono- or polycyclic  
heterocycle that is optionally substituted with one or  
more radicals selected from the group consisting of  
25 oxo, carboxy and quaternary salts; or

20 R<sup>14</sup> and R<sup>15</sup>, together with the nitrogen atom to  
which they are attached, form a cyclic ring; and  
R<sup>30</sup> is selected from the group consisting of alkyl,  
alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,  
30 ammoniumalkyl, alkylammoniumalkyl, arylalkyl,  
carboxyalkyl, carboxyheteroaryl, carboxyheterocycle,  
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,

heterocyclalkyl, and alkylammoniumalkyl; and

$R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen and alkyl; and

5 one or more  $R^X$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)2R^{13}$ ,  
10  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  
 $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  
 $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  
 $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid,  
peptide, polypeptide, and carbohydrate,

15 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  
 $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  
20  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  
 $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

25 wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  
30  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,

$\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^9\text{R}^{10}$ ,  $\text{PO}(\text{OR}^{16})\text{OR}^{17}$ , and  $\text{C}(\text{O})\text{OM}$ ,

wherein in  $\text{R}^*$ , one or more carbons are optionally replaced by  $\text{O}$ ,  $\text{NR}^{13}$ ,  $\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ,  $\text{S}$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^{13}\text{A}^-$ ,  
5  $\text{PR}^{13}$ ,  $\text{P}(\text{O})\text{R}^{13}$ ,  $\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or  
polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by  $\text{O}$ ,  $\text{NR}^9$ ,  $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ ,  
10  $\text{S}$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^9\text{A}^-$ ,  $\text{PR}^9$ ,  $\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ , or  $\text{P}(\text{O})\text{R}^9$ ;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, 15 oxo,  $\text{OR}^{13}$ ,  $\text{NR}^{13}\text{R}^{14}$ ,  $\text{SR}^{13}$ ,  $\text{S}(\text{O})\text{R}^{13}$ ,  $\text{SO}_2\text{R}^{13}$ ,  $\text{SO}_3\text{R}^{13}$ ,  $\text{NR}^{13}\text{OR}^{14}$ ,  $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ,  $\text{NO}_2$ ,  $\text{CO}_2\text{R}^{13}$ ,  $\text{CN}$ ,  $\text{OM}$ ,  $\text{SO}_2\text{OM}$ ,  
20  $\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ,  $\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ,  $\text{C}(\text{O})\text{OM}$ ,  $\text{COR}^{13}$ ,  $\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ,  $\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ,  $\text{P}(\text{OR}^{13})\text{OR}^{14}$ ,  $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , and  
 $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ , or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

250. A compound of claim 249 wherein  $\text{R}^5$  is aryl substituted with a radical selected from the group consisting of  $\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$  and  $\text{NR}^{13}\text{CO}_2\text{R}^{14}$ .

251. A compound of claim 249 wherein  $\text{R}^5$  is phenyl substituted with a radical selected from the group consisting of  $\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$  and  $\text{NR}^{13}\text{CO}_2\text{R}^{14}$ .

252. A compound of claim 249 wherein n is 1 or 2.

5 253. A compound of claim 249 wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen and alkyl.

10 254. A compound of claim 249 wherein R<sup>7</sup> and R<sup>8</sup> are hydrogen.

255. A compound of claim 249 wherein R<sup>3</sup> and R<sup>4</sup> are independently selected from the group consisting of hydrogen and OR<sup>9</sup>.

15 256. A compound of claim 249 wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is hydroxy.

20 257. A compound of claim 249 wherein one or more R<sup>x</sup> are independently selected from the group consisting of OR<sup>13</sup> and NR<sup>13</sup>R<sup>14</sup>.

258. A compound of claim 249 wherein one or more R<sup>x</sup> are independently selected from methoxy and dimethylamino.

259. A compound of claim 249 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of hydrogen and alkyl.

30 260. A compound of claim 249 wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting alkyl.

261. A compound of claim 249 wherein R<sup>1</sup> and R<sup>2</sup> are the same alkyl.

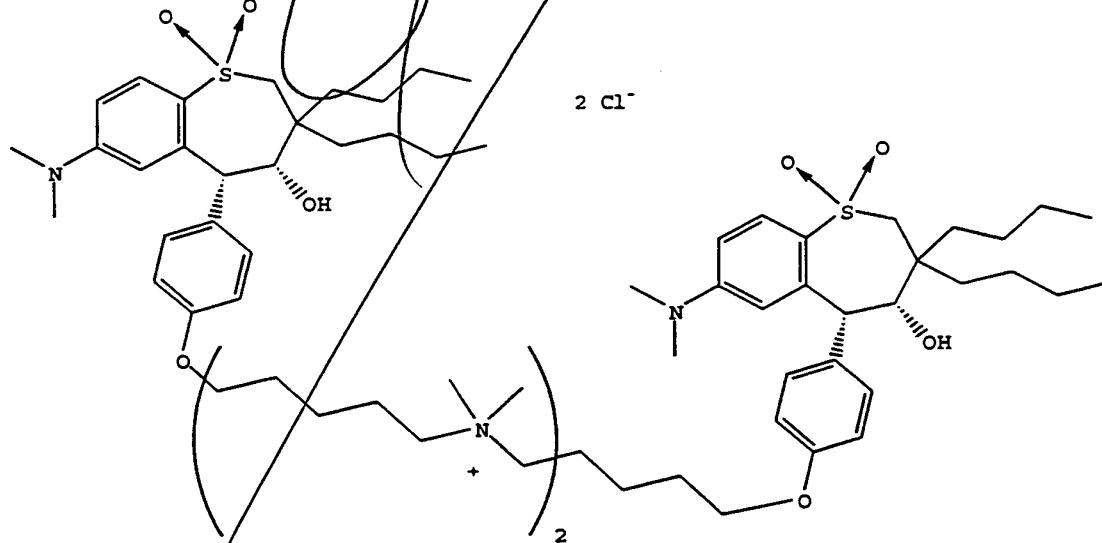
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632

262. A compound of claim 249 wherein R<sup>1</sup> and R<sup>2</sup> are each n-butyl.

263. A compound of claim 249 wherein  
5 n is 1 or 2;  
R<sup>1</sup> and R<sup>2</sup> are n-butyl;  
R<sup>3</sup> and R<sup>6</sup> are hydrogen;  
R<sup>4</sup> is hydroxy;  
R<sup>7</sup> and R<sup>8</sup> are hydrogen; and  
10 one or more R<sup>x</sup> are independently selected from methoxy and dimethylamino.

264. A compound of claim 98 having the structural  
15 formula:



20 265. A pharmaceutical composition comprising an anti-hyperlipidemic condition effective amount of a compound of formula (I) of claim 170, and

a pharmaceutically acceptable carrier.

266. A pharmaceutical composition comprising an  
anti-atherosclerotic effective amount of a compound of  
5 formula (I) of claim 170, and  
a pharmaceutically acceptable carrier.

267. A pharmaceutical composition comprising an  
anti-hypercholesterolemia effective amount of a  
10 compound of formula (I) of claim 170, and  
a pharmaceutically acceptable carrier.

268. A method for the prophylaxis or treatment of  
a hyperlipidemic condition comprising administering to  
15 a patient in need thereof a composition of claim 265 in  
unit dosage form.

269. A method for the prophylaxis or treatment of  
an atherosclerotic condition comprising administering  
20 to a patient in need thereof a composition of claim 266  
in unit dosage form.

270. A method for the prophylaxis or treatment of  
hypercholesterolemia comprising administering to a  
25 patient in need thereof a composition of claim 267 in  
unit dosage form.

271. A pharmaceutical composition comprising an  
anti-hyperlipidemic condition effective amount of a  
30 compound of formula (I) of claim 180, and  
a pharmaceutically acceptable carrier.

272. A pharmaceutical composition comprising an  
anti-atherosclerotic effective amount of a compound of  
35 formula (I) of claim 180, and

a pharmaceutically acceptable carrier.

273. A pharmaceutical composition comprising an  
anti-hypercholesterolemia effective amount of a  
5 compound of formula (I) of claim 180, and  
a pharmaceutically acceptable carrier.

274. A method for the prophylaxis or treatment of  
a hyperlipidemic condition comprising administering to  
10 a patient in need thereof a composition of claim 271 in  
unit dosage form.

275. A method for the prophylaxis or treatment of  
an atherosclerotic condition comprising administering  
15 to a patient in need thereof a composition of claim 272  
in unit dosage form.

276. A method for the prophylaxis or treatment of  
hypercholesterolemia comprising administering to a  
20 patient in need thereof a composition of claim 273 in  
unit dosage form.

277. A pharmaceutical composition comprising an  
anti-hyperlipidemic condition effective amount of a  
25 compound of formula (I) of claim 201, and  
a pharmaceutically acceptable carrier.

278. A pharmaceutical composition comprising an  
anti-atherosclerotic effective amount of a compound of  
30 formula (I) of claim 201, and  
a pharmaceutically acceptable carrier.

279. A pharmaceutical composition comprising an  
anti-hypercholesterolemia effective amount of a  
35 compound of formula (I) of claim 201, and

a pharmaceutically acceptable carrier.

280. A method for the prophylaxis or treatment of  
a hyperlipidemic condition comprising administering to  
5 a patient in need thereof a composition of claim 277 in  
unit dosage form.

10 281. A method for the prophylaxis or treatment of  
an atherosclerotic condition comprising administering  
to a patient in need thereof a composition of claim 278  
in unit dosage form.

15 282. A method for the prophylaxis or treatment of  
hypercholesterolemia comprising administering to a  
patient in need thereof a composition of claim 279 in  
unit dosage form.

20 283. A pharmaceutical composition comprising an  
anti-hyperlipidemic condition effective amount of a  
compound of formula (I) of claim 218, and  
a pharmaceutically acceptable carrier.

25 284. A pharmaceutical composition comprising an  
anti-atherosclerotic effective amount of a compound of  
formula (I) of claim 218, and  
a pharmaceutically acceptable carrier.

30 285. A pharmaceutical composition comprising an  
anti-hypercholesterolemia effective amount of a  
compound of formula (I) of claim 218, and  
a pharmaceutically acceptable carrier.

35 286. A method for the prophylaxis or treatment of  
a hyperlipidemic condition comprising administering to  
a patient in need thereof a composition of claim 283 in

unit dosage form.

287. A method for the prophylaxis or treatment of  
an atherosclerotic condition comprising administering  
5 to a patient in need thereof a composition of claim 284  
in unit dosage form.

10 288. A method for the prophylaxis or treatment of  
hypercholesterolemia comprising administering to a  
patient in need thereof a composition of claim 285 in  
unit dosage form.

15 289. A pharmaceutical composition comprising an  
anti-hyperlipidemic condition effective amount of a  
compound of formula (I) of claim 234, and  
a pharmaceutically acceptable carrier.

20 290. A pharmaceutical composition comprising an  
anti-atherosclerotic effective amount of a compound of  
formula (I) of claim 234, and  
a pharmaceutically acceptable carrier.

25 291. A pharmaceutical composition comprising an  
anti-hypercholesterolemia effective amount of a  
compound of formula (I) of claim 234, and  
a pharmaceutically acceptable carrier.

30 292. A method for the prophylaxis or treatment of  
a hyperlipidemic condition comprising administering to  
a patient in need thereof a composition of claim 289 in  
unit dosage form.

35 293. A method for the prophylaxis or treatment of  
an atherosclerotic condition comprising administering  
to a patient in need thereof a composition of claim 290

in unit dosage form.

294. A method for the prophylaxis or treatment of hypercholesterolemia comprising administering to a 5 patient in need thereof a composition of claim 291 in unit dosage form.

295. A pharmaceutical composition comprising an 10 anti-hyperlipidemic condition effective amount of a compound of formula (I) of claim 249, and a pharmaceutically acceptable carrier.

296. A pharmaceutical composition comprising an 15 anti-atherosclerotic effective amount of a compound of formula (I) of claim 249, and a pharmaceutically acceptable carrier.

297. A pharmaceutical composition comprising an 20 anti-hypercholesterolemia effective amount of a compound of formula (I) of claim 249, and a pharmaceutically acceptable carrier.

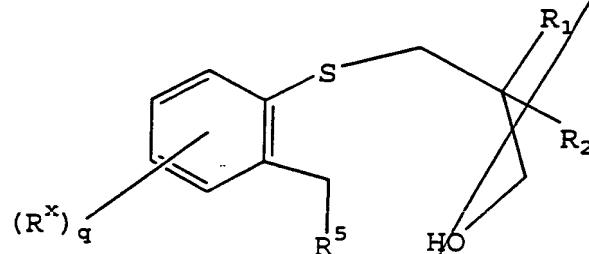
298. A method for the prophylaxis or treatment of a hyperlipidemic condition comprising administering to 25 a patient in need thereof a composition of claim 295 in unit dosage form.

299. A method for the prophylaxis or treatment of an atherosclerotic condition comprising administering to a patient in need thereof a composition of claim 296 30 in unit dosage form.

300. A method for the prophylaxis or treatment of 35 hypercholesterolemia comprising administering to a patient in need thereof a composition of claim 297 in

unit dosage form.

301. A process for the preparation of a compound having the formula:



5

comprising:

treating a thiophenol with an abstracting agent; coupling the thiophenyl and a cyclic sulfate to form an intermediate comprising a sulfate group; and 10 removing the sulfate group of the intermediate to form the compound of formula XLI;

wherein

q is an integer from 1 to 4;

15 R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

20 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>, SR<sup>9</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,

25 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR<sup>9</sup>,

$N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene,  
wherein  $R^9$ ,  $R^{10}$ , and  $R^*$  are independently selected  
from the group consisting of  $H$ , alkyl, alkenyl,  
alkynyl, cycloalkyl, aryl, acyl, heterocycle,  
5 ammoniumalkyl, arylalkyl, carboxyalkyl,  
carboxyheteroaryl, carboxyheterocycle,  
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,  
heterocyclalkyl, and alkylammoniumalkyl; or  
 $R^1$  and  $R^2$  taken together with the carbon to which  
10 they are attached form  $C_3-C_{10}$  cycloalkyl;

$R^3$  is hydroxy;

$R^4$  is hydrogen;

$R^5$  and  $R^6$  are independently selected from the  
group consisting of  $H$ , alkyl, alkenyl, alkynyl, aryl,  
15 cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  
 $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,  
wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl,  
heterocycle, quaternary heterocycle, and quaternary  
20 heteroaryl can be substituted with one or more  
substituent groups independently selected from the  
group consisting of alkyl, alkenyl, alkynyl, polyalkyl,  
polyether, aryl, haloalkyl, cycloalkyl, heterocycle,  
arylalkyl, quaternary heterocycle, quaternary  
heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  
25  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  
 $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  
 $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  
30  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,  
 $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  
 $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein:

$A^-$  is a pharmaceutically acceptable anion and  $M$  is

a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent

5 groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary

10 heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O,

15  $NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,

$P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are

independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl,

20 cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl,

heteroarylalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

25 wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ ,  $P(O)R^9$ , phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

30  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting

of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl,  
5 guanidinyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and  $C(O)OM$ ,

wherein  $R^{16}$  and  $R^{17}$  are independently selected  
10 from the substituents constituting  $R^9$  and M; or  
 $R^{13}$  and  $R^{14}$ , together with the nitrogen atom to  
which they are attached form a mono- or polycyclic  
heterocycle that is optionally substituted with one or  
more radicals selected from the group consisting of  
15 oxo, carboxy and quaternary salts; or

$R^{14}$  and  $R^{15}$ , together with the nitrogen atom to  
which they are attached, form a cyclic ring; and  
 $R^{30}$  is selected from the group consisting of alkyl,  
20 alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,  
ammoniumalkyl, alkylammoniumalkyl, arylalkyl,  
carboxyalkyl, carboxyheteroaryl, carboxyheterocycle,  
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,  
heterocyclalkyl, and alkylammoniumalkyl; and

$R^7$  and  $R^8$  are hydrogen; and  
25 one or more  $R^x$  are independently selected from the  
group consisting of H, alkyl, alkenyl, alkynyl,  
polyalkyl, acyloxy, aryl, arylalkyl, halogen,  
haloalkyl, cycloalkyl, heterocycle, heteroaryl,  
polyether, quaternary heterocycle, quaternary  
30 heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)_2R^{13}$ ,  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,

$P^+R^9R^{11}R^{12}A^-$ , amino acid, peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, 5 polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

10 wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, 15 and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

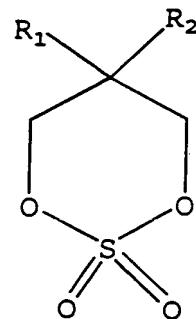
20 wherein in  $R^x$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

25 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

30 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ , 35  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,

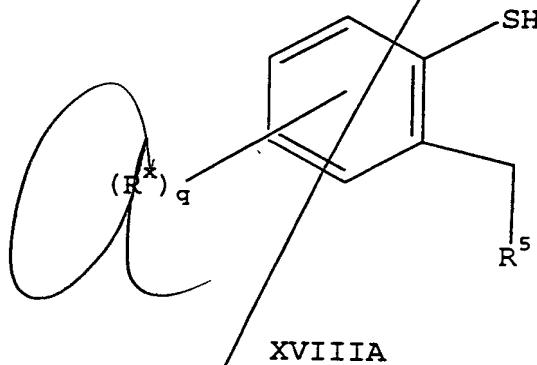
$P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ .

302. The process of claim 301 wherein, the cyclic sulfate has the formula:



5

XL  
and the thiophenol has the formula:



10 wherein  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^*$  and  $q$  are as defined in  
claim 301.

15 303. The process of claim 301 wherein the sulfate group is removed by treating the intermediate with a hydrolyzing agent.

304. The process of claim 303 wherein the hydrolyzing agent is a mineral acid.

305. The process of claim 303 wherein the

hydrolyzing agent is selected from the group consisting of hydrochloric acid and sulfuric acid.

5 306. The process of claim 302 wherein the abstracting agent is a base having a pH of at least about 10.

10 307. The process of claim 302 wherein the abstracting agent is an alkali metal hydride.

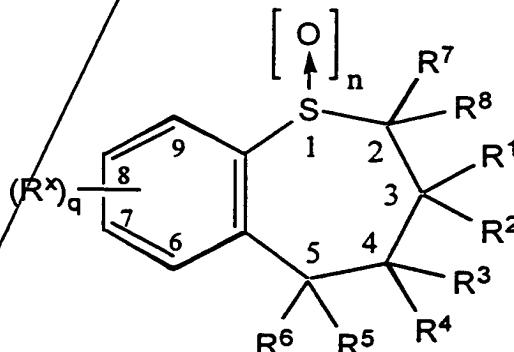
308. The process of claim 302 wherein the abstracting agent is sodium hydride.

15 309. The process of claim 302 wherein the R<sup>1</sup> and R<sup>2</sup> are alkyl.

310. The process of claim 302 wherein the R<sup>1</sup> and R<sup>2</sup> are selected from the group consisting of ethyl, n-butyl, iso-butyl and pentyl.

20 311. The process of claim 302 wherein the R<sup>1</sup> and R<sup>2</sup> are n-butyl.

25 312. A process for the preparation of a compound having the formula I:



(I)

comprising:

reacting a cyclic sulfate with a thiophenol to form an alcohol;

oxidizing said alcohol to form a sulfone-aldehyde; and

5 cyclizing said sulfone-aldehyde to form the compound of formula I;

wherein:

q is an integer from 1 to 4;

n is 2;

10  $R^1$  and  $R^2$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{10}R^wA^-$ ,  $SR^9$ ,  $S^+R^9R^{10}A^-$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ ,  $CO_2R^9$ , CN, halogen, oxo, and  $CONR^9R^{10}$ ,

20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $P^+R^9R^{10}A^-$ , or phenylene, wherein  $R^9$ ,  $R^{10}$  and  $R^w$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl,

25 carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

30  $R^1$  and  $R^2$  taken together with the carbon to which they are attached form  $C_3-C_{10}$  cycloalkyl;

35  $R^3$  is hydroxy;

$R^4$  is hydrogen;

5  $R^5$  and  $R^6$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

10 wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, exo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,  $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

20 wherein:

$A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

25 said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,

$P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O,

5  $NR^7$ ,  $N^+R^7R^8A^-$ , S, SO, SO<sub>2</sub>,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,

$P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,

10 polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and

15 carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO, SO<sub>2</sub>,

20  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ ,  $P(O)R^9$ , phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

25  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl,

30 guanidinyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $FO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and  $C(O)OM$ ,

wherein  $R^{16}$  and  $R^{17}$  are independently selected

from the substituents constituting R<sup>9</sup> and M; or  
 R<sup>13</sup> and R<sup>14</sup>, together with the nitrogen atom to  
 which they are attached form a mono- or polycyclic  
 heterocycle that is optionally substituted with one or  
 5 more radicals selected from the group consisting of  
 oxo, carboxy and quaternary salts; or

R<sup>14</sup> and R<sup>15</sup>, together with the nitrogen atom to  
 which they are attached, form a cyclic ring; and  
 R<sup>30</sup> is selected from the group consisting of alkyl,  
 10 alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,  
 ammoniumalkyl, alkylammoniumalkyl, arylalkyl,  
 carboxyalkyl, carboxyheteroaryl, carboxyheterocycle,  
 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,  
 heterocyclalkyl, and alkylammoniumalkyl; and

R<sup>7</sup> and R<sup>8</sup> are hydrogen; and  
 one or more R<sup>2</sup> are independently selected from the  
 group consisting of H, alkyl, alkenyl, alkynyl,  
 polyalkyl, acyloxy, aryl, arylalkyl, halogen,  
 haloalkyl, cycloalkyl, heterocycle, heteroaryl,  
 20 polyether, quaternary heterocycle, quaternary  
 heteroaryl, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, S(O)<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>,  
 S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>13</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM,  
 SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, C(O)OM,  
 COR<sup>13</sup>, OR<sup>18</sup>, S(O)<sub>n</sub>NR<sup>18</sup>, NR<sup>13</sup>R<sup>18</sup>, NR<sup>18</sup>OR<sup>14</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>,  
 25 P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, amino acid, peptide, polypeptide, and  
 carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl,  
 polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl,  
 polyether, quaternary heterocycle, and quaternary  
 30 heteroaryl can be further substituted with OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>,  
 N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN,  
 halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>  
 , S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or C(O)OM, and

wherein R<sup>18</sup> is selected from the group consisting

of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

wherein in  $R^x$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S,  $SO$ ,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

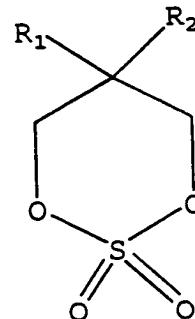
wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S,  $SO$ ,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ .

313. The process of claim 312 wherein the cyclic sulfate has the formula:

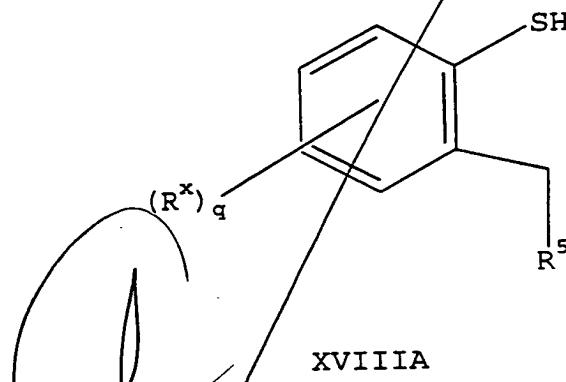
SRL 6071  
(C-3021/2)

650



XL

and the thiophenol has the formula:



XVIIIA

5       wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>x</sup> and q are as defined in  
claim 312.

10       314. The process of claim 313 wherein the R<sup>1</sup> and  
R<sup>2</sup> are alkyl.

15       315. The process of claim 313 wherein the R<sup>1</sup> and  
R<sup>2</sup> are selected from the group consisting of ethyl, n-  
butyl, iso-butyl and pentyl.

16       316. The process of claim 313 wherein the R<sup>1</sup> and  
R<sup>2</sup> are n-butyl.

17       317. The process of claim 313 wherein the alcohol  
is oxidized with an oxidizing agent to form an

aldehyde.

318. The process of claim 317 wherein the aldehyde is oxidized with an oxidizing agent to form a sulfone-aldehyde.

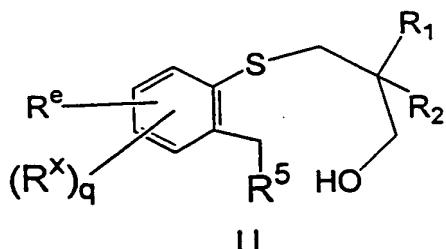
319. The process of claim 313 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is a base having a pH between about 8 to about 9.

320. The process of claim 313 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is an alkali alkoxide base.

321. The process of claim 313 wherein the sulfone-aldehyde is cyclized with potassium tert-butoxide.

322. The process of claim 313 wherein the alcohol is oxidized with pyridinium chlorochromate to form an aldehyde; the aldehyde is oxidized with metachloroperbenzoic acid to form a sulfone-aldehyde; and the sulfone-aldehyde is cyclized with potassium tert-butoxide.

323. A process for the preparation of a compound having the formula LI:



comprising:  
treating a halobenzene with an abstracting agent;

coupling the halobenzene and a cyclic sulfate to form an intermediate comprising a sulfate group; and removing the sulfate group of the intermediate to form the compound of formula LI; wherein

5 q is an integer from 1 to 4;

R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and

10 cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR<sup>9</sup>,

15 NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>, SR<sup>9</sup>, S<sup>-</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,

20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR<sup>9</sup>,

N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>-</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or phenylene,

25 wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

30 R<sup>1</sup> and R<sup>2</sup> taken together with the carbon to which they are attached form C<sub>3</sub>-C<sub>10</sub> cycloalkyl;

R<sup>3</sup> is hydroxy;

R<sup>4</sup> is hydrogen;

R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl,

cycloalkyl, heterocycle, quaternary heterocycle,  $OR^{30}$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ , and  $SO_3R^9$ ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary

heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,  $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein:

$A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,  $NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,  $CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle

can optionally have one or more carbons replaced by O, NR<sup>7</sup>, N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A-, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>7</sup>A-, PR<sup>7</sup>, P(O)R<sup>7</sup>, P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A-, or phenylene, and R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of 5 hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl, wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more 10 carbons replaced by O, NR<sup>9</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A-, PR<sup>9</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, P(O)R<sup>9</sup>, phenylene, carbohydrate, amino acid, peptide, or polypeptide, and 15 R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A-, SR<sup>9</sup>, S(O)R<sup>9</sup>, 20 SO<sub>2</sub>R<sup>9</sup>, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A-, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A-, and C(O)OM, 25 wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the substituents constituting R<sup>9</sup> and M; or R<sup>13</sup> and R<sup>14</sup>, together with the nitrogen atom to which they are attached form a mono- or polycyclic 30

heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

5                   R<sup>14</sup> and R<sup>15</sup>, together with the nitrogen atom to which they are attached, form a cyclic ring; and R<sup>30</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, 10 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

R<sup>7</sup> and R<sup>8</sup> are hydrogen; and one or more R<sup>x</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, 15 polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR<sup>13</sup>, NR<sup>13</sup>R<sup>14</sup>, SR<sup>13</sup>, S(O)R<sup>13</sup>, S(O)<sub>2</sub>R<sup>13</sup>, SO<sub>3</sub>R<sup>13</sup>, S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>, NR<sup>13</sup>OR<sup>14</sup>, NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>, NO<sub>2</sub>, CO<sub>2</sub>R<sup>13</sup>, CN, OM, SO<sub>2</sub>OM, 20 SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, C(O)NR<sup>13</sup>R<sup>14</sup>, NR<sup>14</sup>C(O)R<sup>13</sup>, C(O)OM, COR<sup>13</sup>, OR<sup>18</sup>, S(O)<sub>n</sub>NR<sup>18</sup>, NR<sup>13</sup>R<sup>18</sup>, NR<sup>18</sup>OR<sup>14</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, amino acid, peptide, polypeptide, and carbohydrate,

25                   wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R, SO<sub>3</sub>R<sup>9</sup>, oxo, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, CONR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>OM, SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, PO(OR<sup>16</sup>)OR<sup>17</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, 30 S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or C(O)OM, and

                  wherein R<sup>15</sup> is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

35                   wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle,

and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_3R^9$ ,  $SO_2OM$ ,  
5  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ , and  $C(O)OM$ ,

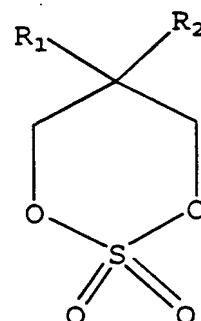
wherein in  $R^x$ , one or more carbons are optionally replaced by O,  $NR^{13}$ ,  $N^+R^{13}R^{14}A^-$ , S, SO,  $SO_2$ ,  $S^+R^{13}A^-$ ,  $PR^{13}$ ,  $P(O)R^{13}$ ,  $P^+R^{13}R^{14}A^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

10 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S, SO,  $SO_2$ ,  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ , or  $P(O)R^9$ ;

15 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  
20  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ , CN, OM,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ; and

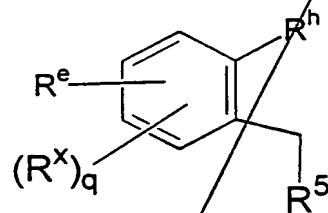
25  $R^e$  is an electron-withdrawing group located at the para or ortho position.

324. The process of claim 323 wherein the cyclic sulfate has the formula:



XL

and the halobenzene has the formula:



5       wherein R<sup>h</sup> is halogen, and R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>x</sup>, R<sup>e</sup> and q are as defined in claim 323.

10       325. The process of claim 324 wherein the sulfate group is removed by treating the intermediate with a hydrolyzing agent.

15       326. The process of claim 325 wherein the hydrolyzing agent is a mineral acid.

20       327. The process of claim 325 wherein the hydrolyzing agent is selected from the group consisting of hydrochloric acid and sulfuric acid.

25       328. The process of claim 324 wherein the abstracting agent is a dialkali metal sulfide.

30       329. The process of claim 324 wherein the abstracting agent is dilithium sulfide.

330. The process of claim 324 wherein R<sup>1</sup> and R<sup>2</sup> are alkyl.

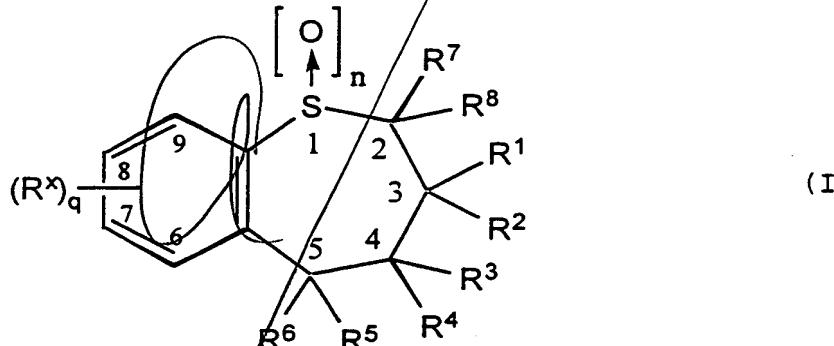
5 331. The process of claim 324 wherein R<sup>1</sup> and R<sup>2</sup> are selected from the group consisting of ethyl, n-butyl, iso-butyl and pentyl.

10 332. The process of claim 324 wherein R<sup>1</sup> and R<sup>2</sup> are n-butyl.

333. The process of claim 324 wherein R<sup>h</sup> is chloro.

15 334. The process of claim 324 wherein R<sup>e</sup> is p-nitro.

335. A process for the preparation of a compound having the formula I:



20 comprising:  
reacting a cyclic sulfate with a halobenzene to form an alcohol;  
oxidizing said alcohol to form a sulfone-aldehyde;  
25 and  
cyclizing said sulfone-aldehyde to form the compound of formula I;

wherein

q is an integer from 1 to 4;

n is 2;

5 R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

10 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>, N<sup>-</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>, SR<sup>9</sup>, S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, 15 SO<sub>3</sub>R<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, CN, halogen, oxo, and CONR<sup>9</sup>R<sup>10</sup>,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR<sup>9</sup>,

20 N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, S, SO, SO<sub>2</sub>, S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>, P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, or phenylene,

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, 25 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

R<sup>1</sup> and R<sup>2</sup> taken together with the carbon to which they are attached form C<sub>3</sub>-C<sub>10</sub> cycloalkyl;

30 R<sup>3</sup> is hydroxy;

R<sup>4</sup> is hydrogen;

R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR<sup>30</sup>, SR<sup>9</sup>, S(O)R<sup>9</sup>, SO<sub>2</sub>R<sup>9</sup>, and SO<sub>3</sub>R<sup>9</sup>,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $SO_2R^{13}$ ,  $SO_3R^{13}$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $C(O)NR^{13}R^{14}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $NR^{13}C(O)R^{14}$ ,  $NR^{13}C(O)NR^{14}R^{15}$ ,  $NR^{13}CO_2R^{14}$ ,  $OC(O)R^{13}$ ,  $OC(O)NR^{13}R^{14}$ ,  $NR^{13}SOR^{14}$ ,  $NR^{13}SO_2R^{14}$ ,  $NR^{13}SONR^{14}R^{15}$ ,  $NR^{13}SO_2NR^{14}R^{15}$ ,  $P(O)R^{13}R^{14}$ ,  $P^+R^{13}R^{14}R^{15}A^-$ ,  $P(OR^{13})OR^{14}$ ,  $S^+R^{13}R^{14}A^-$ , and  $N^+R^9R^{11}R^{12}A^-$ ,

wherein:

$A^-$  is a pharmaceutically acceptable anion and  $M$  is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of  $OR^7$ ,

$NR^7R^8$ ,  $SR^7$ ,  $S(O)R^7$ ,  $SO_2R^7$ ,  $SO_3R^7$ ,  $CO_2R^7$ ,  $CN$ , oxo,

$CONR^7R^8$ ,  $N^+R^7R^8R^9A^-$ , alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary

heterocycle, quaternary heteroaryl,  $P(O)R^7R^8$ ,  $P^+R^7R^8R^9A^-$ , and  $P(O)(OR^7)OR^8$ , and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by  $O$ ,

$NR^7$ ,  $N^+R^7R^8A^-$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $S^+R^7A^-$ ,  $PR^7$ ,  $P(O)R^7$ ,

$P^+R^7R^8A^-$ , or phenylene, and  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, 5 alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and 10 carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by O,  $NR^9$ ,  $N^+R^9R^{10}A^-$ , S,  $SO$ ,  $SO_2$ , 15  $S^+R^9A^-$ ,  $PR^9$ ,  $P^+R^9R^{10}A^-$ ,  $P(O)R^9$ , phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

$R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary 20 heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl,  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ , CN, halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{10}R^{11}A^-$ ,  $S^+R^9R^{10}A^-$ , and 25  $C(O)OM$ ,

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the substituents constituting  $R^9$  and M; or

$R^{13}$  and  $R^{14}$ , together with the nitrogen atom to which they are attached form a mono- or polycyclic 30 heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

$R^{14}$  and  $R^{15}$ , together with the nitrogen atom to which they are attached, form a cyclic ring; and

$R^{30}$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

$R^7$  and  $R^8$  are hydrogen; and

one or more  $R^x$  are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary

heteroaryl,  $OR^{13}$ ,  $NR^{13}R^{14}$ ,  $SR^{13}$ ,  $S(O)R^{13}$ ,  $S(O)_2R^{13}$ ,  $SO_3R^{13}$ ,  $S^+R^{13}R^{14}A^-$ ,  $NR^{13}OR^{14}$ ,  $NR^{13}NR^{14}R^{15}$ ,  $NO_2$ ,  $CO_2R^{13}$ ,  $CN$ ,  $OM$ ,  $SO_2OM$ ,  $SO_2NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)NR^{13}R^{14}$ ,  $NR^{14}C(O)R^{13}$ ,  $C(O)OM$ ,  $COR^{13}$ ,  $OR^{18}$ ,  $S(O)_nNR^{18}$ ,  $NR^{13}R^{18}$ ,  $NR^{18}OR^{14}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $P^+R^9R^{11}R^{12}A^-$ , amino acid, peptide, polypeptide, and

carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with  $OR^9$ ,  $NR^9R^{10}$ ,

$N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R$ ,  $SO_3R^9$ , oxo,  $CO_2R^9$ ,  $CN$ , halogen,  $CONR^9R^{10}$ ,  $SO_2OM$ ,  $SO_2NR^9R^{10}$ ,  $PO(OR^{16})OR^{17}$ ,  $P^+R^9R^{11}R^{12}A^-$ ,  $S^+R^9R^{10}A^-$ , or  $C(O)OM$ , and

wherein  $R^{18}$  is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of  $OR^9$ ,  $NR^9R^{10}$ ,  $N^+R^9R^{11}R^{12}A^-$ ,  $SR^9$ ,  $S(O)R^9$ ,  $SO_2R^9$ ,

$\text{SO}_3\text{R}^9$ , oxo,  $\text{CO}_2\text{R}^9$ , CN, halogen,  $\text{CONR}^9\text{R}^{10}$ ,  $\text{SO}_3\text{R}^9$ ,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^9\text{R}^{10}$ ,  $\text{PO}(\text{OR}^{16})\text{OR}^{17}$ , and  $\text{C}(\text{O})\text{OM}$ ,

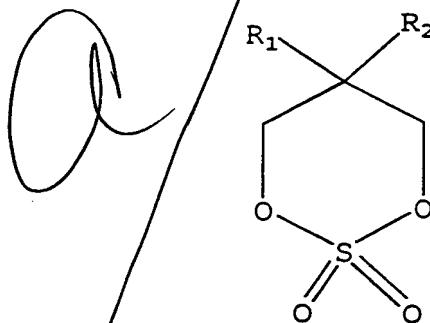
wherein in  $\text{R}^x$ , one or more carbons are optionally replaced by O,  $\text{NR}^{13}$ ,  $\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , S, SO,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^{15}\text{A}^-$ ,  $\text{PR}^{13}$ ,  $\text{P}(\text{O})\text{R}^{13}$ ,  $\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O,  $\text{NR}^9$ ,  $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ , S, SO,  $\text{SO}_2$ ,  $\text{S}^+\text{R}^9\text{A}^-$ ,  $\text{PR}^9$ ,  $\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ , or  $\text{P}(\text{O})\text{R}^9$ ;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo,  $\text{OR}^{13}$ ,  $\text{NR}^{13}\text{R}^{14}$ ,  $\text{SR}^{13}$ ,  $\text{S}(\text{O})\text{R}^{13}$ ,  $\text{SO}_2\text{R}^{13}$ ,  $\text{SO}_3\text{R}^{13}$ ,  $\text{NR}^{13}\text{OR}^{14}$ ,  $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ,  $\text{NO}_2$ ,  $\text{CO}_2\text{R}^{13}$ , CN, OM,  $\text{SO}_2\text{OM}$ ,  $\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ,  $\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ,  $\text{C}(\text{O})\text{OM}$ ,  $\text{COR}^{13}$ ,  $\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ,  $\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ,  $\text{P}(\text{OR}^{13})\text{OR}^{14}$ ,  $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ , and  $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ; and

$\text{R}^e$  is an electron-withdrawing group located at the para or ortho position.

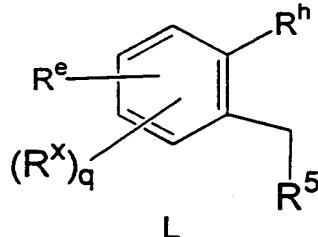
336. The process of claim 335 wherein the cyclic sulfate has the formula:



25

XL

and the halobenzene has the formula:



wherein  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^x$  and  $R^e$  are as defined in claim 335, and  $R^h$  is halogen.

5 337. The process of claim 336 wherein the sulfate group is removed by treating the intermediate with a hydrolyzing agent.

10 338. The process of claim 337 wherein the hydrolyzing agent is a mineral acid.

15 339. The process of claim 336 wherein the hydrolyzing agent is selected from the group consisting of hydrochloric acid and sulfuric acid.

340. The process of claim 336 wherein the abstracting agent is a dialkali metal sulfide.

20 341. The process of claim 336 wherein the abstracting agent is dilithium sulfide.

342. The process of claim 336 wherein  $R^1$  and  $R^2$  are alkyl.

25 343. The process of claim 336 wherein  $R^1$  and  $R^2$  are selected from the group consisting of ethyl, n-butyl, iso-butyl and pentyl.

30 344. The process of claim 336 wherein  $R^1$  and  $R^2$  are n-butyl.

345. The process of claim 336 wherein R<sup>h</sup> is chloro.

5 346. The process of claim 336 wherein R<sup>e</sup> is p-nitro.

10 347. The process of claim 336 wherein the alcohol is oxidized with an oxidizing agent to form a sulfone.

348. The process of claim 336 wherein the sulfone is oxidized with an oxidizing agent to form a sulfone-aldehyde.

15 349. The process of claim 336 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is a base having a pH between about 8 to about 9.

20 350. The process of claim 336 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is an alkali alkoxide base.

25 351. The process of claim 336 wherein the sulfone-aldehyde is cyclized with potassium tert-butoxide.

30 352. The process of claim 336 wherein the alcohol is oxidized with metachloroperbenzoic acid to form a sulfone; the aldehyde is oxidized with pyridinium chlorochromate to form a sulfone-aldehyde; and the sulfone-aldehyde is cyclized with potassium tert-butoxide.